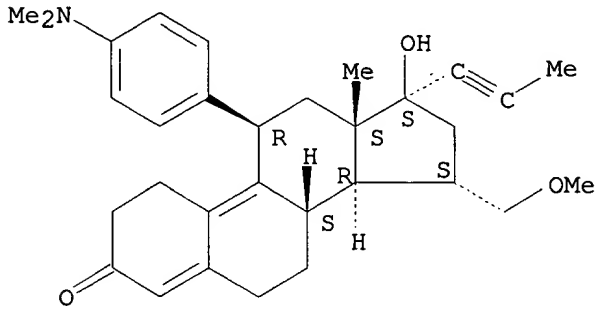
L3 10 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-hydroxy-15-(methoxymethyl)-17-(1-propynyl)-, (11.beta.,15.alpha.,17.beta.)- (9CI)
MF C31 H39 N O3

Absolute stereochemistry.

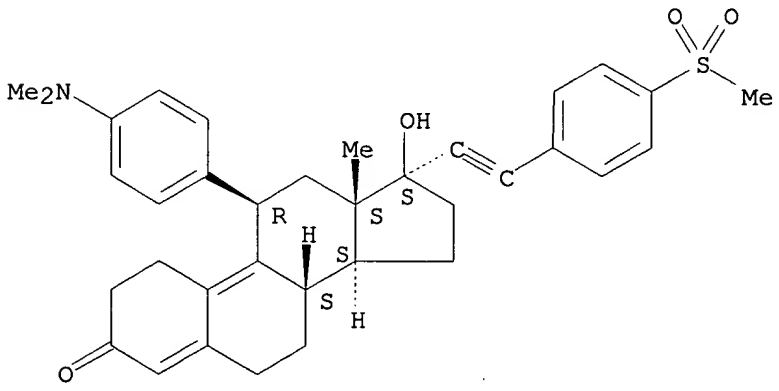


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):9

L3 10 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN 19-Norpregna-4,9-dien-20-yn-3-one, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-[4-(methylsulfonyl)phenyl]-, (11.beta.,17.alpha.)- (9CI)
MF C35 H39 N O4 S

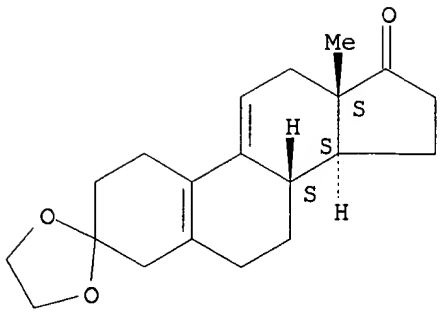
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 10 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN Estra-5(10),9(11)-diene-3,17-dione, cyclic 3-(1,2-ethanediyl acetal) (9CI)
MF C20 H26 O3

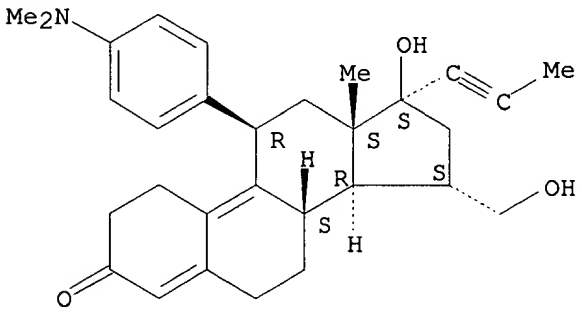
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 10 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-hydroxy-15-(hydroxymethyl)-17-(1-propynyl)-, (11.beta.,15.alpha.,17.beta.)- (9CI)
MF C30 H37 N O3

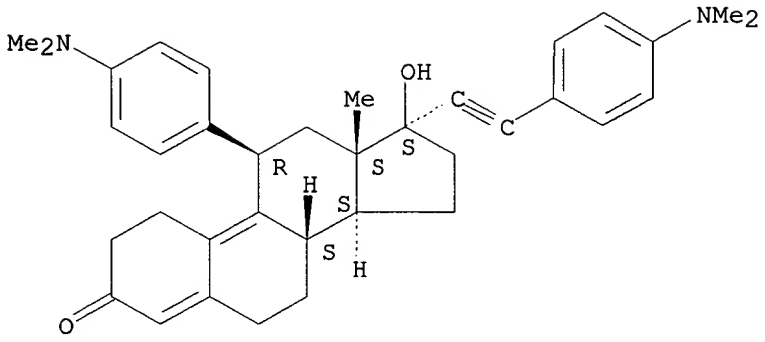
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 10 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN 19-Norpregna-4,9-dien-20-yn-3-one, 11,21-bis[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.,17.alpha.)- (9CI)
MF C36 H42 N2 O2

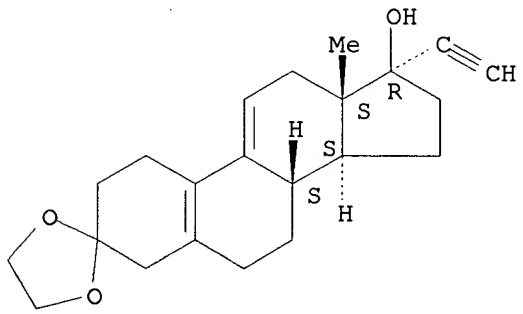
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 10 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN 19-Norpregna-5(10),9(11)-dien-20-yn-3-one, 17-hydroxy-, cyclic 1,2-ethanediyl acetal, (17.alpha.)- (9CI)
MF C22 H28 O3

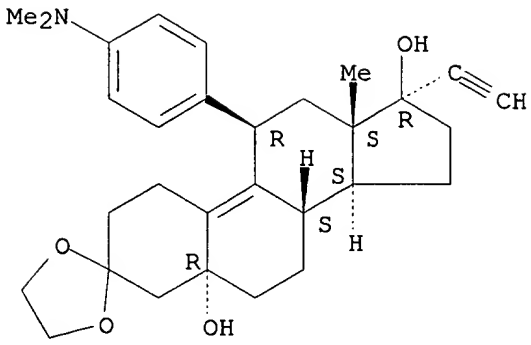
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 10 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN 19-Norpregn-9-en-20-yn-3-one, 11-[4-(dimethylamino)phenyl]-5,17-dihydroxy-, cyclic 1,2-ethanediyl acetal, (5.alpha.,11.beta.,17.alpha.)- (9CI)
MF C30 H39 N O4

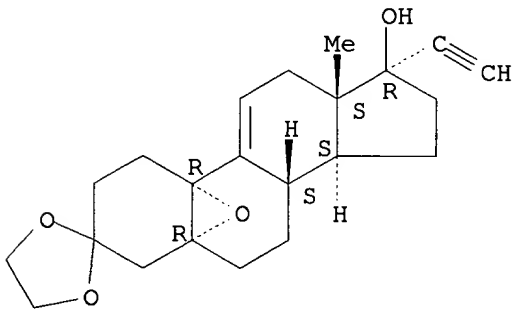
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 10 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN 19-Norpregn-9(11)-en-20-yn-3-one, 5,10-epoxy-17-hydroxy-, cyclic 1,2-ethanediyl acetal, (5.alpha.,10.alpha.,17.alpha.)- (9CI)
MF C22 H28 O4

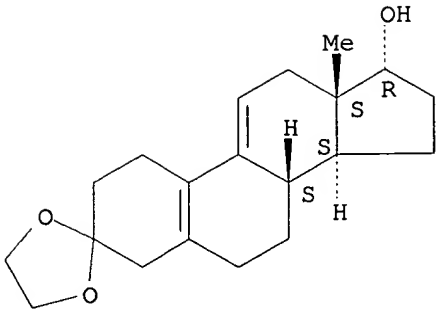
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 10 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN Estra-5(10),9(11)-dien-3-one, 17-hydroxy-, cyclic 1,2-ethanediyl acetal, (17.alpha.)- (9CI)
MF C20 H28 O3

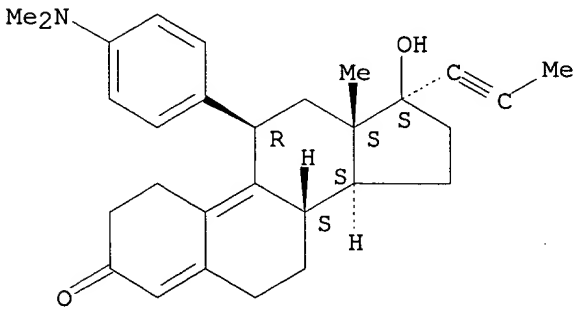
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 10 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-hydroxy-17-(1-propynyl)-, (11.beta.,17.beta.)- (9CI)
MF C29 H35 N O2
CI COM

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> d ibib ab hitstr

L7 ANSWER 1 OF 8 USPATFULL

ACCESSION NUMBER: 93:7213 USPATFULL
TITLE: Intermediates for 3-keto-19-nor-.DELTA..sup.4,9 -steroids
INVENTOR(S): Philibert, Daniel, La Varenne Saint-Hilaire, France
Teutsch, Jean G., Pantin, France
Costerousse, Germain, Saint-Maurice, France
Deraedt, Roger, Pavillons-sous-Bois, France
PATENT ASSIGNEE(S): Roussel Ulcaf, Paris, France (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5182381		19930126
APPLICATION INFO.:	US 1991-757261		19910910 (7)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1986-859072, filed on 2 May 1986, now abandoned which is a division of Ser. No. US 1985-746176, filed on 18 Jun 1985, now abandoned which is a division of Ser. No. US 1984-618590, filed on 8 Jun 1984, now patented, Pat. No. US 4540686 which is a continuation of Ser. No. US 1983-469042, filed on 23 Feb 1983, now patented, Pat. No. US 4477445

	NUMBER	DATE
PRIORITY INFORMATION:	FR 1982-338	19820311

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Higel, Floyd D.
LEGAL REPRESENTATIVE: Bierman & Muserlian
NUMBER OF CLAIMS: 1
EXEMPLARY CLAIM: 1
LINE COUNT: 2068
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel 3-keto-19-nor-.DELTA..sup.4,9 -steroids of the formula ##STR1## and their non-toxic, pharmaceutically acceptable acid addition salts possessing a remarkable antiglucocorticoidal activity.

IT **240806-28-4P**
(prepn. of 20-keto-11.beta.-arylsteroids with antiprogestational activity)

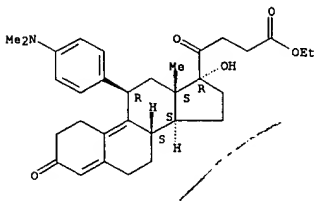
RN 240806-28-4 USPATFULL
CN 19,21-Dinorchola-4,9-dien-24-oic acid, 11-[4-(dimethylamino)phenyl]-17-hydroxy-3,20-dioxo-, ethyl ester, (11.beta.)-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 240806-27-3
CMF C32 H41 N O5

Absolute stereochemistry.

L7 ANSWER 1 OF 8 USPATFULL (Continued)



9/23/02

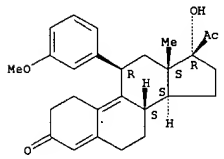
CM 2

CRN 76-05-1
CMF C2 H F3 O2

IT **88256-91-1P 88256-94-4P**
(prepn. of)

RN 88256-91-1 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-hydroxy-11-(3-methoxyphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

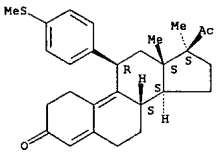
Absolute stereochemistry.



RN 88256-94-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-methyl-11-[4-(methylthio)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 1 OF 8 USPATFULL (Continued)



R_1 = SCH3
R_2 = H
R_3 = CH3
R_4 = CH3
X = O

L7 ANSWER 2 OF 8 USPATFULL

ACCESSION NUMBER: 91:102214 USPATFULL
TITLE: 11 .beta.-substituted progesterone analogs
INVENTOR(S): Cook, C. Edgar, Durham, NC, United States
Wani, Mansukh C., Durham, NC, United States
Lee, Yun W., Chapel Hill, NC, United States
Reel, Jerry R., Cary, NC, United States
Rector, Douglas, Mobile, AL, United States
PATENT ASSIGNEE(S): Research Triangle Institute, Research Triangle Park, NC, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5073548		19911217
APPLICATION INFO.:	US 1990-504129		19900403 (7)

RELATED APPLN. INFO.: Division of Ser. No. US 1988-210503, filed on 23 Jun 1988, now patented, Pat. No. US 4954490

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Shah, Mukund J.
ASSISTANT EXAMINER: Ward, E. C.
LEGAL REPRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt
NUMBER OF CLAIMS: 16
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 2 Drawing Figure(s); 2 Drawing Page(s)
LINE COUNT: 1177
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A 11.beta.-aryl-19-norprogesterone steroid of the formula: ##STR1## wherein (i) R.sup.1 is H, C.sub.1-4 alkyl, C.sub.2-4 alkenyl, C.sub.2-4 alkynyl, OH, OC(O)CH.sub.3, or OC(O)R.sup.5 , wherein R.sup.5 is C.sub.2-8 alkyl, C.sub.2-8 alkenyl, C.sub.2-8 alkynyl or aryl, R.sub.2 is H, R.sup.3 is H, C.sub.1-4 alkyl, C.sub.2-4 alkenyl or C.sub.2-4 alkynyl, R.sup.4 is H, CH.sub.3, F or Cl, R.sup.6 is H, (CH.sub.3).sub.2 N, CH.sub.3 O, CH.sub.3 CO, CH.sub.3 S, CH.sub.3 SO, CH.sub.3 SO.sub.2, and X is O or NOCH.sub.3 ; or

(ii) R.sup.1 and R.sup.2 taken together are a carbon-carbon bond and R.sup.3, R.sup.4, R.sup.6 and X are as defined above; or

(iii) R.sup.1 and R.sup.3 taken together are --CH.sub.2 -- or --N.dbd.N--CH.sub.2 --, R.sup.2 is H and R.sup.4, R.sup.6 and X are as defined above; or

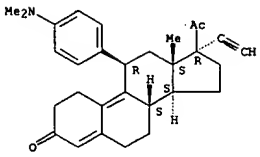
(iv) R.sup.2 and R.sup.3 taken together are .dbd.CH.sub.2 and R.sup.1 , R.sup.4, R.sup.6 and X are as defined above.

IT **126690-20-8P 126690-29-7P 126784-99-4P**
(prepn. of, as antiglucocorticoid and/or (anti)progestogen)

RN 126690-20-8 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-ethynyl-, (11.beta.)- (9CI) (CA INDEX NAME)

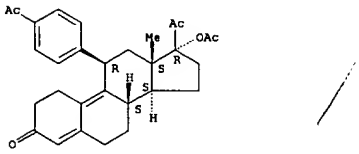
Absolute stereochemistry.

L7 ANSWER 2 OF 8 USPATFULL (Continued)



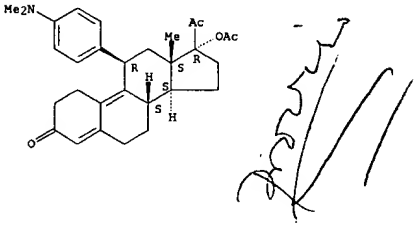
RN 126690-29-7 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-acetylphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 126784-99-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 3 OF 8 USPATFULL

ACCESSION NUMBER: 91:92521 USPATFULL
TITLE: Novel 3-keto-19-nor-.DELTA..sup.4,9 -steroids
INVENTOR(S): Philibert, Daniel, Saint-Hilaire, France
Teutsch, Jean G., Pantin, France
Costerousse, Germain, Saint-Maurice, France
Deraedt, Roger, Pavillons-sous-Bois, France
PATENT ASSIGNEE(S): Roussel Uclaf, Paris, France (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5064822		19911112
APPLICATION INFO.:	US 1989-438359		19891116 (7)
DISCLAIMER DATE:	20011016		
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1986-859072, filed on 2 May 1986 which is a division of Ser. No. US 1985-746176, filed on 18 Jun 1985, now abandoned which is a division of Ser. No. US 1984-618590, filed on 8 Jun 1984, now patented, Pat. No. US 4540686 which is a continuation of Ser. No. US 1983-469042, filed on 23 Feb 1983, now patented, Pat. No. US 4477445		

	NUMBER	DATE
PRIORITY INFORMATION:	FR 1982-3338	19820301
	FR 1988-14868	19881116

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Lee, Mary C.
ASSISTANT EXAMINER: Powers, Fiona T.
LEGAL REPRESENTATIVE: Bierman and Muserlian
NUMBER OF CLAIMS: 15
EXEMPLARY CLAIM: 1,6,11
LINE COUNT: 2197
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

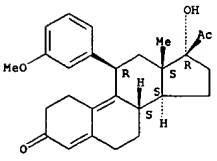
AB Novel 3-keto-19-nor-.DELTA..sup.4,9 -steroids of the formula ##STR1## wherein R.sub.1 is selected from the group consisting of naphthyl, phenylphenyl, alkyl of 1 to 6 carbon atoms, alkenyl of 2 to 6 carbon atoms optionally containing additional unsaturations, phenoxy, furyl, cycloalkyl of 3 to 6 carbon atoms, thienyl optionally substituted with at least one member of the group consisting of halogen and alkyl and haloalkyl of 1 to 6 carbon atoms and phenyl optionally substituted with at least one member of the group consisting of --OH, halogen, --CF.sub.3, alkyl and alkoxy of 1 to 6 carbon atoms, alkenyloxy of 2 to 6 carbon atoms, phenoxy and alkylthio of 1 to 6 carbon atoms optionally oxidized to the sulfoxide or sulfone, R.sub.2 is selected from the group consisting of methyl and ethyl, R.sub.3 is selected from the group consisting of hydrogen, optionally substituted alkyl of 1 to 6 carbon atoms, optionally substituted alkenyl and alkynyl of 2 to 6 carbon atoms, --OH, acetyl, hydroxyacetyl, carboxyalkoxy of 2 to 4 carbon atoms optionally esterified or salified and hydroxyalkyl of 1 to 6 carbon atoms optionally esterified, R.sub.4 is selected from the group consisting of hydrogen, alkylthio and alkoxy of 1 to 12 carbon atoms, trialkylsilyl of 1 to 6 carbon atoms, --CN, --OH and alkyl, alkenyl and alkynyl of up to 12 carbon atoms optionally substituted with at least one member of the group consisting of halogen and alkylamino and dialkylamino of 1 to 6 alkyl carbon atoms, R.sub.5 is selected from the

L7 ANSWER 3 OF 8 USPATFULL (Continued)

group consisting of hydrogen and methyl in the .alpha.- or .beta.-position, X is .dbd.O or hydroxyimino or alkoxyimino of 1 to 4 carbon atoms in the syn or anti form and A and B are an epoxy or a second bond in the 9(10) position and their non-toxic, pharmaceutically acceptable acid addition salts where R.sub.4 is an amino group, with the proviso that A and B are not a second bond in the 9(10)-position when X is .dbd.O and R.sub.5 is hydrogen and a) R.sub.2 is methyl and .alpha.) R.sub.3 is --OH and i) R.sub.1 is ethyl or phenyl and R.sub.4 is hydrogen or ii) R.sub.1 is ethyl, propyl, isopropyl, vinyl, allyl, isopropenyl, phenyl, 4-fluorophenyl, methoxyphenyl or thienyl and R.sub.4 is ethynyl or iii) R.sub.1 is propyl, isopropyl, vinyl, allyl, isopropenyl, 4-methoxyphenyl or thienyl and R.sub.4 is methyl and .beta.) R.sub.3 is acetyl and i) R.sub.1 is ethyl, vinyl or phenyl and R.sub.4 is --OH or ii) R.sub.1 is vinyl and R.sub.4 is methyl and b) R.sub.2 is ethyl and R.sub.1 is vinyl, R.sub.3 is --OH and R.sub.4 is hydrogen possessing a remarkable antiglucocorticoidal activity.

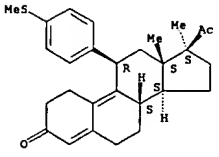
IT **88256-91-1P 88256-94-4P**
(prepn. of)
RN 88256-91-1 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-hydroxy-11-(3-methoxyphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 88256-94-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-methyl-11-[4-(methylthio)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 4 OF 8 USPATFULL

ACCESSION NUMBER: 90:69718 USPATFULL
TITLE: 11 .beta.-substituted progesterone analogs
INVENTOR(S): Cook, C. Edgar, Durham, NC, United States
Wani, Mansukh C., Research Triangle Park, NC, United States
Lee, Y.-W, Chapel Hill, NC, United States
Reel, Jerry R., Delmar, NY, United States
Rector, Douglas, Raleigh, NC, United States
PATENT ASSIGNEE(S): Research Triangle Institute, Research Triangle Park, NC, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4954490		19900904
APPLICATION INFO.:	US 1988-210503		19880623 (7)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Lipovsky, Joseph A.
LEGAL REPRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt
NUMBER OF CLAIMS: 31
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 4 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT: 1259
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A 11.beta.-aryl-19-norprogesterone steroid of the formula: ##STR1## wherein (i) R.sup.1 is H, C.sub.1-4 alkyl, C.sub.2-4 alkenyl, C.sub.2-4 alkynyl, OH, OC(O)CH.sub.3, or OC(O)R.sup.5, wherein R.sup.5 is C.sub.2-8 alkyl, C.sub.2-8 alkenyl, C.sub.2-8 alkynyl or aryl, R.sub.2 is H, R.sup.3 is H, C.sub.1-4 alkyl, C.sub.2-4 alkenyl or C.sub.2-4 alkynyl, R.sup.4 is H, CH.sub.3, F or Cl, R.sup.6 is H, (CH.sub.3).sub.2 N, CH.sub.3 O, CH.sub.3 CO, CH.sub.3 S, CH.sub.3 SO, CH.sub.3 SO.sub.2, and X is O or NOCH.sub.3 ; or

(ii) R.sup.1 and R.sup.2 taken together are a carbon-carbon bond and R.sup.3, R.sup.4, R.sup.6 and X are as defined above; or

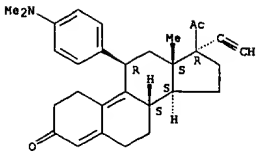
(iii) R.sup.1 and R.sup.3 taken together are --CH.sub.2 -- or --N.dbd.N--CH.sub.2 --, R.sup.2 is H and R.sup.4, R.sup.6 and X are as defined above; or

(iv) R.sup.2 and R.sup.3 taken together are .dbd.CH.sub.2 and R.sup.1, R.sup.4, R.sup.6 and X are as defined above.

IT **126690-20-8P 126690-29-7P 126784-99-4P**
(prepn. of, as antiglucocorticoid and/or (anti)progestogen)
RN 126690-20-8 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-ethynyl-, (11.beta.)- (9CI) (CA INDEX NAME)

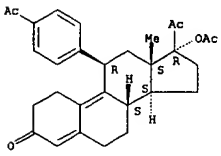
Absolute stereochemistry.

L7 ANSWER 4 OF 8 USPATFULL (Continued)



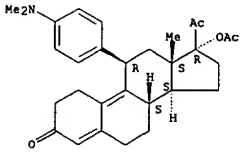
RN 126690-29-7 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-acetylphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

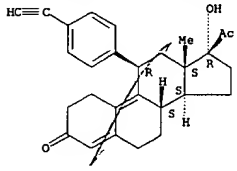


RN 126784-99-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

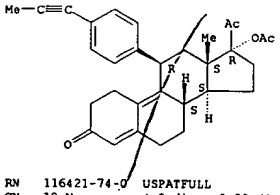


L7 ANSWER 5 OF 8 USPATFULL (Continued)



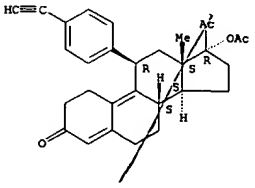
IT **116421-73-9P 116421-74-0P**
(prepn. of, as drug)
RN 116421-73-9 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(1-propynyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 116421-74-0 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-ethynylphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



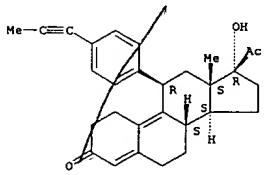
L7 ANSWER 5 OF 8 USPATFULL
ACCESSION NUMBER: 90:23597 USPATFULL
TITLE: Novel 11 .beta.-alkynylphenyl-10-nor-steroids
INVENTOR(S): Teutsch, Jean-Georges, Pantin, France
Klich, Michel, Villemomble, France
Philibert, Daniel, La Varenne-Saint-Hilaire, France
PATENT ASSIGNEE(S): Roussel Uclaf, Paris, France (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4912097		19900327
APPLICATION INFO.:	US 1987-44958		19870430 (7)

	NUMBER	DATE
PRIORITY INFORMATION:	FR 1986-6517	19860506

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Berch, Mark L.
LEGAL REPRESENTATIVE: Bierman & Muserlian
NUMBER OF CLAIMS: 21
EXEMPLARY CLAIM: 1,9
LINE COUNT: 2174
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel 11.beta.-alkynylphenyl-19-nor-steroids of the formula ##STR1## wherein R.sub.1 is alkynyl of 2 to 8 carbon atoms optionally substituted with at least one member of the group consisting of --OH halogen, trialkylsilyl of 1 to 6 alkyl carbon atoms, alkoxy and alkylthio of 1 to 6 carbon atoms and dialkylamino of 1 to 6 alkyl carbon atoms having remarkably antiprogestomimetic and antiglucocorticoidal activity.
IT **116421-94-4P 116501-92-9P**
(prepn. and acetylation of)
RN 116421-94-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-hydroxy-11-[4-(1-propynyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 116501-92-9 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 11-(4-ethynylphenyl)-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

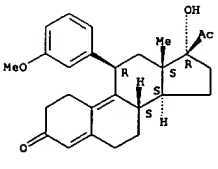
L7 ANSWER 6 OF 8 USPATFULL
ACCESSION NUMBER: 85:53780 USPATFULL
TITLE: 3-Keto-19-nor-.DELTA..sup.4,9 -steroids
INVENTOR(S): Philibert, Daniel, La Varenne Saint-Hilaire, France
Teutsch, Jean G., Pantin, France
Costerousse, Germain, Saint-Maurice, France
Deraedt, Roger, Pavillons-Sous-Bois, France
PATENT ASSIGNEE(S): Roussel Uclaf, Paris, France (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4540686		19850910
APPLICATION INFO.:	US 1984-618590		19840608 (6)
DISCLAIMER DATE:	20011016		
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1983-469042, filed on 23 Feb 1983, now patented, Pat. No. US 4477445		

	NUMBER	DATE
PRIORITY INFORMATION:	FR 1982-3338	19820301

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Roberts, Elbert L.
LEGAL REPRESENTATIVE: Muserlian, Charles A.
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1,8
LINE COUNT: 2043
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel 3-keto-19-nor-.DELTA..sup.4,9 -steroids of the formula ##STR1## possessing a remarkable antiglucocorticoidal activity.
IT **88256-91-1P 88256-94-4P**
(prepn. of)
RN 88256-91-1 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-hydroxy-11-(3-methoxyphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

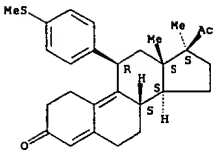
Absolute stereochemistry.



RN 88256-94-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-methyl-11-[4-(methylthio)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 6 OF 8 USPATFULL (Continued)



L7 ANSWER 7 OF 8 USPATFULL

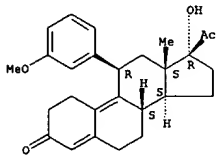
ACCESSION NUMBER: 84:58178 USPATFULL
TITLE: 3-Keto-19-nor-.DELTA.4,9-steroids
INVENTOR(S): Philibert, Daniel, La Varenne Saint-Hilaire, France
Teutsch, Jean G., Pantin, France
Costerousse, Germain, Saint-Maurice, France
Deraedt, Roger, Pavillons-sous-Bois, France
PATENT ASSIGNEE(S): Roussel Uclaf, Paris, France (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4477445		19841016
APPLICATION INFO.:	US 1983-469042		19830223 (6)

	NUMBER	DATE
PRIORITY INFORMATION:	FR 1982-3338	19820301

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Roberts, Elbert L.
LEGAL REPRESENTATIVE: Muserlian, Charles A.
NUMBER OF CLAIMS: 31
EXEMPLARY CLAIM: 1,11
LINE COUNT: 2221
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel 3-keto-19-nor-.DELTA.4,9-steroids of the formula ##STR1##
IT **88256-91-1P 88256-94-4P**
(prepn. of)
RN 88256-91-1 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-hydroxy-11-(3-methoxyphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

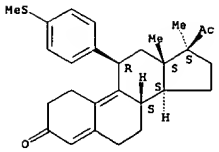
Absolute stereochemistry.



RN 88256-94-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-methyl-11-[4-(methylthio)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 7 OF 8 USPATFULL (Continued)



L7 ANSWER 8 OF 8 USPATFULL

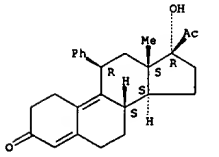
ACCESSION NUMBER: 80:56503 USPATFULL
TITLE: 11.beta.-Substituted-.DELTA..sup.4,9 -estradienes
INVENTOR(S): Teutsch, Jean G., Le Blanc-Mesnil, France
Philibert, Daniel, La Varenne Saint-Hilaire, France
PATENT ASSIGNEE(S): Roussel Uclaf, Paris, France (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4233296		19801111
APPLICATION INFO.:	US 1978-867485		19780106 (5)

	NUMBER	DATE
PRIORITY INFORMATION:	FR 1977-858	19770113

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Love, Ethel G.
LEGAL REPRESENTATIVE: Hammond & Littell
NUMBER OF CLAIMS: 32
EXEMPLARY CLAIM: 1,15,29
LINE COUNT: 1155
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel steroids of the formula ##STR1## wherein R.sub.1 is linear or branched alkyl of 1 to 12 carbon atoms, unsaturated alkyl of 2 to 8 carbon atoms optionally substituted, optionally substituted aryl of 6 to 12 carbon atoms, optionally substituted aralkyl of 7 to 13 carbon atoms and a heterocycle with at least one sulfur or oxygen atom, R.sub.2 is alkyl of 1 to 4 carbon atoms, R.sub.3 is selected from the group consisting of hydrogen, hydroxy, acyloxy of an organic carboxylic acid of 1 to 18 carbon atoms, alkoxy of 1 to 8 carbon atoms and acyl of an organic carboxylic acid of 1 to 18 carbon atoms and R.sub.4 is selected from the group consisting of hydrogen, hydroxy, alkyl and alkoxy of 1 to 8 carbon atoms, alkenyl and alkynyl of 2 to 8 carbon atoms and acyloxy of an organic carboxylic acid of 1 to 18 carbon atoms, with the proviso that R.sub.4 is not hydrogen when R.sub.1 is allyl, R.sub.2 is methyl and R.sub.3 is hydroxy having progestomimetic properties and their preparation.
IT **67983-59-9P**
(prepn. of)
RN 67983-59-9 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-hydroxy-11-phenyl-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 8 OF 8 USPATFULL (Continued)

=> d ibib ab hitstr 1-9

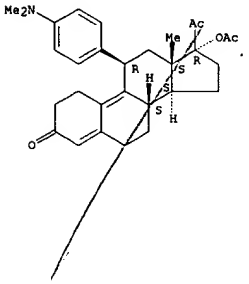
L9 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:499191 CAPLUS
DOCUMENT NUMBER: 122:256542
TITLE: The anti-progestin CDB 2914 has no antifertility effect in male rats
AUTHOR(S): Wang, Christina; Sinha-Hikim, Amiya; Leung, Andrew
CORPORATE SOURCE: Department of Medicine, Cedars-Sinai Medical Center, Los Angeles, CA, USA
SOURCE: Contraception (1995), 51(3), 215-18
CODEN: CCPTAY; ISSN: 0010-7824
DOCUMENT TYPE: Journal
LANGUAGE: English

AB This study examines the effect of an anti-progestin (CDB 2914) with anti-progestational potencies similar to RU 486 on spermatogenesis, sperm maturation, and fertility in male rats. Adult male rats of proven fertility were administered the anti-progestin (10 mg/kg/day) or vehicle (control group) for 14, 35, and 70 days to study the possible effect of this compd. on epididymal sperm maturation, post-meiotic sperm development, spermatogenesis, and fertility, resp. Fertility rates of the rats were detd. by mating studies. The anti-progestin, CDB 2914, had no effect on testis or accessory organ wts., epididymal sperm content or motility, testicular sperm count, spermatogenesis, and fertility of male rats. This study suggests that anti-progestins, when administered even at higher doses than those used in humans, have no contraceptive effect in adult male rats.

IT **126784-99-4**, CDB 2914
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(anti-progestin CDB 2914 has no antifertility effect in male rats)

RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



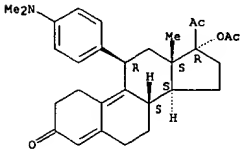
L9 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:86211 CAPLUS
DOCUMENT NUMBER: 122:31745
TITLE: Oxidative demethylation of 4-substituted N,N-dimethylanilines with iodine and calcium oxide in the presence of methanol
AUTHOR(S): Acosta, Kirk; Cessac, James W.; Rao, P. Narasimha; Kim, Kyun K.
CORPORATE SOURCE: Dep. Org. Chem., Southwest Foundation Biomed. Res., San Antonio, TX, 78228-0147, USA
SOURCE: Journal of the Chemical Society, Chemical Communications (1994), (17), 1985-6
CODEN: JCCCAT; ISSN: 0022-4936
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:31745

AB Reaction of p-substituted N,N-dimethylarylamines with iodine-calcium oxide in tetrahydrofuran-methanol affords N-methylarylamines in good yield.

IT **126784-99-4 159811-51-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(oxidative demethylation of 4-substituted N,N-dimethylanilines with iodine and calcium oxide in methanol)

RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

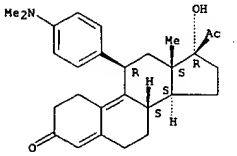
Absolute stereochemistry.



RN 159811-51-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

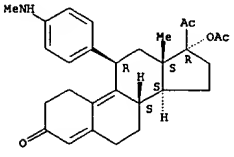
L9 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2002 ACS (Continued)



IT **159681-66-0P 159681-67-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(oxidative demethylation of 4-substituted N,N-dimethylanilines with iodine and calcium oxide in methanol)

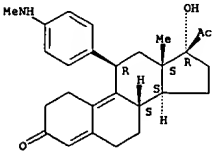
RN 159681-66-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159681-67-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-hydroxy-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



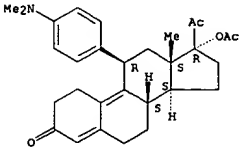
L9 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:290311 CAPLUS
DOCUMENT NUMBER: 120:290311
TITLE: A comparison of the pregnancy-terminating potencies of three anti-progestins in guinea pigs, and the effects of sulprostone
AUTHOR(S): Poyser, N. L.; Forcelledo, M. L.
CORPORATE SOURCE: Med. Sch., Univ. Edinburgh, Edinburgh, EH8 9JZ, UK
SOURCE: Prostaglandins, Leukotrienes and Essential Fatty Acids (1994), 50(5), 245-7
CODEN: PLEAEU; ISSN: 0952-3278
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The anti-progestins mifepristone, lilopristone (ZK 98734) and HRP 2000 were equipotent at terminating the pregnancy of guinea-pigs during mid-gestation, although mifepristone was more effective at low doses. Sulprostone administration on the day following anti-progestin treatment tended to increase the effectiveness of mifepristone and HRP 2000, without affecting the time interval between the start of the antiprogestin treatment and the day of abortion. It is concluded that, of the three afferent anti-progestins used, none is more potent than the other two at terminating pregnancy in the animal model used. The co-administration of a PGE2 analog tends to increase the effectiveness of the anti-progestin.

IT **126784-99-4**
RL: BIOL (Biological study)
(abortion from, sulprostone enhancement of)

RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



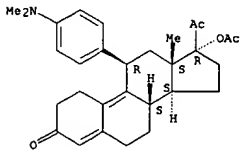
L9 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1993:73787 CAPLUS
DOCUMENT NUMBER: 118:73787
TITLE: Reversal of activity profile in analogs of the antiprogestin RU 486: effect of a 16.alpha.-substituent on progestational (agonist) activity
AUTHOR(S): Cook, C. Edgar; Wani, Mansukh C.; Lee, Yue Wei; Fail, Patricia A.; Petrow, Vladimir
CORPORATE SOURCE: Research Triangle Inst., Research Triangle Park, NC, 27709-2194, USA
SOURCE: Life Sciences (1993), 52(2), 155-62
CODEN: LIFSAK; ISSN: 0024-3205
DOCUMENT TYPE: Journal
LANGUAGE: English

AB RU 486 analogs (I, R = H, OAc; R1 = H, Et; R2 = H, Me) were tested for binding to progestogen receptors and for progestational and antiprogestational activity. The 17.beta.-acetoxy analogs showed antiprogestational activity, whereas the 16.alpha.-Et analogs were progestogenic. The analog I (R = R1 = R2 = H) exhibited mixed activity. Examn. of structure-activity relationships in combination with computer aided mol. modeling suggests that a binding interaction of the 16.alpha.-Et group with the progesterone receptor (PR) or the PR-progestin response element complex may play the major role in this reversal of activity profile.

IT **126784-99-4**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antiprogestogenic activity of, mol. structure in relation to)

RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1988:529463 CAPLUS
DOCUMENT NUMBER: 109:129463
TITLE: New 11-(alkynylphenyl)-substituted 19-nor and 19-nor-D-homo steroids, their formation and pharmacological activity, and processes for their preparation
INVENTOR(S): Teutsch, Jean Georges; Klich, Michel; Philibert, Daniel
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 88 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 245170	A1	19871111	EP 1987-401018	19870504
EP 245170	B1	19891129		
R: CH, DE, GB, IT, LI, NL, SE				
FR 2598421	A1	19871113	FR 1986-6517	19860506
FR 2598421	B1	19880819		
US 4912097	A	19900327	US 1987-44958	19870430
HU 44793	A2	19880428	HU 1987-2007	19870505
HU 196224	B	19881028		
JP 62294694	A2	19871222	JP 1987-109059	19870506
PRIORITY APPLN. INFO.:			FR 1986-6517	19860506

OTHER SOURCE(S): CASREACT 109:129463

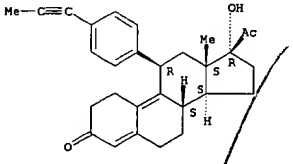
AB Title steroids I [R1 = C2-8 alkynyl (un)substituted by OH, halo, trialkylsilyl, alkoxy, alkylthio, dialkylamino, or oxo; R2 = C1-3 alkyl; A/B-rings = Q1-Q5; D-ring = Q6, Q7; R3, R4 = H, C1-4 alkyl; R5 = H, OH, acycloxy, (un)substituted C1-6 alkoxy; R6 = H, C1-8 alkyl, C7-15 aralkyl; R7, R8 = H, OH, etc.; R7R8 = lactones and related groups; Y2 = CH2CH2, CH:CH, 1,2-cyclopropanediyl, CHR9CH2, CH2CHR10; R9, R10 = C1-4 alkyl] are prepd. for use as progestogens, antiprogestogens, and/or antiglucocorticoids. 3,3-Ethylenedioxy-5,10-epoxy-estr-9(11)-en-17-one was treated with 4-(Me3SiC:C)C6H4MgBr and CuCl in THF, and the product treated with CH2:CHCH2MgBr and deprotected and dehydrated (NH4OH in aq. MeOH, then aq. HCl) to give (ethylnylphenyl)allylhydroxyestradienone II. At 10-6M in vitro, II gave 99% reversal of the dexamethasone-induced redn. of uridine uptake by rat thymocytes (5 .times. 10-8M dexamethasone). Tablets were prepd. from 50 mg of the 17.alpha.-(chloroethynyl) analog of II, and 120 mg of a mixt. of talc, starch, and Mg stearate.

IT **116421-94-4P 116501-92-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and acetylation of)

RN 116421-94-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-hydroxy-11-[4-(1-propynyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

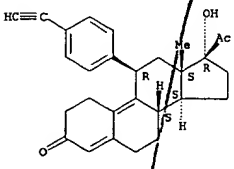
Absolute stereochemistry.

L9 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 116501-92-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-(4-ethynylphenyl)-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

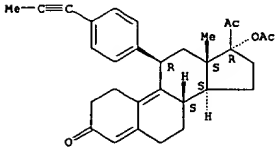
Absolute stereochemistry.



IT **116421-73-9P 116421-74-0P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as drug)

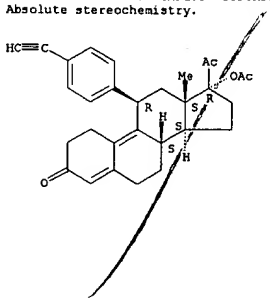
RN 116421-73-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(1-propynyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 116421-74-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-ethynylphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

L9 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2002 ACS (Continued)
Absolute stereochemistry.



L9 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1988:6285 CAPLUS
DOCUMENT NUMBER: 108:6285
TITLE: Preparation of new 5.alpha.-hydroxy-.DELTA.9(10)-19-norsteroids and their conversion to .DELTA.4-19-norsteroids useful as antiglucocorticoids
INVENTOR(S): Philibert, Daniel; Teutsch, Jean Georges; Costerousse, Germain; Deraedt, Roger
PATENT ASSIGNEE(S): Roussel-UCLAF , Fr.
SOURCE: Fr. Demande, 61 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2586021	A1	19870213	FR 1985-12216	19850809
FR 2586021	B1	19881014		

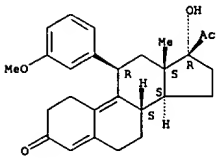
AB 5.alpha.-Hydroxy-19-norsteroids I [R1 = alkyl, alkenyl, furyl, cycloalkyl, naphthyl, di-Ph, (un)substituted thienyl or Ph; R2 = Me, Et; R3 = H, OH, HOCH2CO, carboxyalkoxy, acyloxyalkyl, (un)substituted alkyl, alkenyl, alkynyl, (un)ketalized Ac, and R4 = H, OH, CH2CN, (un)substituted alkyl, alkenyl, alkynyl; or R3 = cyano and R4 = ether-protected OH; R5 = H, .alpha.- or .beta.-Me; K = keto group blocked as a ketal, thioketal, oxime, or methyloxime; various further provisos are given] are prepd. and converted to the 19-norsteroids II [X = O, NOH, alkoxyimino; AB = O, bond; similar R-groups and provisos], which are antiglucocorticoids. A soln. of 3,3-ethylenebis(oxy)-5.alpha.,10.alpha.-epoxy-17.alpha.-(prop-1-ynyl)estr-9(11)-en-17.beta.-ol in THF was treated with a soln. of Cu reagent (from CuCl and 4-MeSC6H4MgBr) in THF, and the mixt. was stirred for 2 h at -20.degree. to give I [R1 = 4-MeSC6H4, R2 = Me, R3 = OH, R4 = C.tplbond.CMe, R5 = H, K = OCH2CH2O]. Deprotection and dehydration of the latter by refluxing in 95% EtOH with the acidic sulfonate resin Redex CF gave the corresponding II (X = O, AB = bond, others as given) (III). Tablets of 120 mg each contained 50 mg III and the remainder of talc, starch, and Mg stearate. III had a 24-h relative binding affinity 227% that of dexamethasone for isolated rat thymus glucocorticoid receptors.

IT **88256-91-1P 88256-94-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as antiglucocorticoid)

RN 88256-91-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-hydroxy-11-(3-methoxyphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

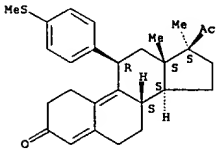
Absolute stereochemistry.

L9 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 88256-94-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-methyl-11-[4-(methylthio)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1988:1254 CAPLUS
DOCUMENT NUMBER: 108:1254
TITLE: Product containing an antiprogestomimetic and a uterotonic substance
INVENTOR(S): Bygdeman, Marc
PATENT ASSIGNEE(S): Roussel-UCLAF , Fr.
SOURCE: Eur. Pat. Appl., 32 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

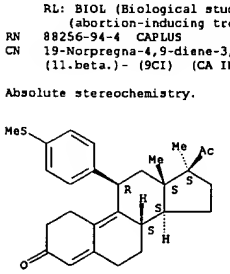
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 184471	A1	19860611	EP 1985-400330	19850222
EP 184471	B1	19901114		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
FR 2573657	A1	19860530	FR 1984-18188	19841129
FR 2573657	B1	19890512		
AT 58295	E	19901115	AT 1985-400330	19850222
CA 1251732	A1	19890328	CA 1985-489943	19850904
PRIORITY APPLN. INFO.:			FR 1984-18188	19841129
			EP 1985-400330	19850222

AB Joint administration of known steroid antiprogesterone or antiprogestomimetic compds. and known uterotonic compds. (oxytocin, ergot alkaloids, sparteine, prostaglandins) is highly effective in inducing abortion. Thus, oral administration of 25 mg RU486, twice daily, for 4 days, followed by a single i.m. administration of 0.25 mg sulprostone induced abortion in all 9 treated pregnant women.

IT **88256-94-4**
RL: BIOL (Biological study)
(abortion-inducing treatment with uterotonic compds. and)

RN 88256-94-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-methyl-11-[4-(methylthio)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1984:68601 CAPLUS
DOCUMENT NUMBER: 100:68601
TITLE: Derivatives of 3-oxo-4,9-unsaturated 19-norsteroids and their pharmaceutical compositions.
INVENTOR(S): Philibert, Daniel; Teutsch, Jean Georges; Costerousse, Germain; Deraedt, Roger
PATENT ASSIGNEE(S): Roussel-UCLAF , Fr.
SOURCE: Ger. Offen., 74 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3307143	A1	19830908	DE 1983-3307143	19830301
FR 2522328	A1	19830902	FR 1982-3338	19820301
FR 2522328	B1	19860214		
SE 8300308	A	19830802	SE 1983-308	19830121
ZA 8300982	A	19840328	ZA 1983-982	19830214
IL 67920	A1	19910718	IL 1983-67920	19830215
US 4477445	A	19841016	US 1983-469042	19830223
DK 8300897	A	19830902	DK 1983-897	19830225
WO 8303099	A1	19830915	WO 1983-FR34	19830225
RW: CF, CG, CM, GA, SN, TD, TG				
BE 896042	A1	19830829	BE 1983-210223	19830228
FI 8300652	A	19830902	FI 1983-652	19830228
FI 80049	B	19891229		
FI 80049	C	19900410		
AU 8311913	A1	19830908	AU 1983-11913	19830228
AU 562739	B2	19870618		
NL 8300738	A	19831003	NL 1983-738	19830228
CA 1206471	A1	19860624	CA 1983-422503	19830228
CH 657368	A	19860829	CH 1983-1099	19830228
SU 1340593	A3	19870923	SU 1983-3561503	19830228
GB 2118186	A1	19831026	GB 1983-5558	19830301
GB 2118186	B2	19860423		
JP 58201800	A2	19831124	JP 1983-31909	19830301
JP 05004397	B4	19930119		
ES 520195	A1	19831201	ES 1983-520195	19830301
HU 29069	O	19840130	HU 1983-690	19830301
HU 193269	B	19870928		
AT 8300711	A	19921015	AT 1983-711	19830301
AT 396109	B	19930625		
US 4540686	A	19850910	US 1984-618590	19840608
CA 1215353	A2	19861216	CA 1985-486788	19850715
US 5064822	A	19911112	US 1989-438359	19891116
JP 02275895	A2	19901109	JP 1990-46023	19900228
JP 04043920	B4	19920720		
US 5182381	A	19930126	US 1991-757261	19910910
PRIORITY APPLN. INFO.:			FR 1982-3338	19820301
			US 1983-469042	19830223
			CA 1983-422503	19830228
			US 1984-618590	19840608
			US 1985-746176	19850618
			US 1986-859072	19860502
			FR 1988-14868	19881116

AB Title unsatd. norsteroids I and II [R = H, Me; R1 = naphthyl, biphenylyl, (un)substituted Ph; R2 = Me, Et; R3 = H, alkyl, alkenyl, alkynyl, HO, Ac,

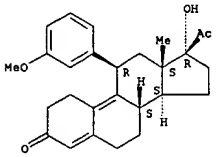
L9 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2002 ACS (Continued)
HOCH2CO, carboxyalkoxy; R4 = H, HO, alkyl, alkenyl, alkynyl substituted by aminoalkylamino, dialhylamino, halo, alkylthio, alkoxy, trialkylsilyl, cyano; Z = O, HON, alkoxyimino) were prepd. by Grignard ring cleavage of epoxy steroids and possessed antiglucocorticoid activity. Thus, treating epoxyestrenol III with 4-ClC6H4MgBr gave phenylestrenediol IV which was hydrolyzed to give phenylestradienone V. At 1.0 .times. 10-6 M V inhibited 89% the effect of 5 .times. 10-8 M dexamethasone on adrenalectomized rats. I and II usefully treat a variety of conditions from glucocorticoid hypersecretion, and had contraceptive and hormonal regulating activity.

IT **88256-91-1P 88256-94-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 88256-91-1 CAPLUS

CN 19-Norpregna-4,9-diene-3,20-dione, 17-hydroxy-11-(3-methoxyphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

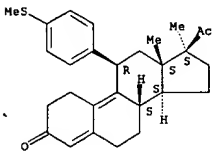
Absolute stereochemistry.



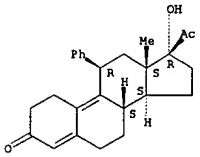
RN 88256-94-4 CAPLUS

CN 19-Norpregna-4,9-diene-3,20-dione, 17-methyl-11-[4-(methylthio)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2002 ACS (Continued)



L9 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1979:6615 CAPLUS
DOCUMENT NUMBER: 90:6615
TITLE: 11.beta.-Substituted 4,9-unsaturated steroid derivatives
INVENTOR(S): Teutsch, Jean Georges; Philibert, Daniel
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Ger. Offen., 44 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2801416	A1	19780720	DE 1978-2801416	19780113
DE 2801416	C2	19920917		
FR 2377418	A1	19780811	FR 1977-858	19770113
FR 2377418	B1	19790420		
SE 7714613	A	19780714	SE 1977-14613	19771221
SE 435515	B	19841001		
SE 435515	C	19850110		
US 4233296	A	19801111	US 1978-867485	19780106
BE 862869	A1	19780712	BE 1978-184284	19780112
DK 7800138	A	19780714	DK 1978-138	19780112
DK 161333	B	19910624		
DK 161333	C	19911209		
NL 7800363	A	19780717	NL 1978-363	19780112
CA 1115266	A1	19811229	CA 1978-294879	19780112
JP 53092752	A2	19780815	JP 1978-2066	19780113
JP 62047878	B4	19871009		
GB 1595132	A	19810805	GB 1978-1376	19780113
CH 633811	A	19821231	CH 1978-390	19780113
DE 2858797	C2	19930603	DE 1978-2858797	19780113

PRIORITY APPLN. INFO.: FR 1977-858 19770113

AB Estradienes I (R = C1-12 alkyl, C2-8 alkenyl, substituted aryl, substituted aralkyl; R1 = C1-4 alkyl; R2 = H, OH, C1-8 alkoxy, C1-18 acyl, C1-18 acyloxy; R3 = H, OH, C1-8 alkyl, C1-8 alkoxy, C1-18 acyloxy alkenyl, C2-8 alkynyl) (34 compds.), useful as androgenic hormones, were prepd. by dehydration-deketalization of II. Thus, acetylation of I (R = Et, R1 = Me, R2 = Ac, R3 = OH) (III) by AcOH in presence of (CF3CO)2O gave 32 mg I (R = Et, R1 = Me, R2 = Ac, R3 = AcO). Refluxing II (R = Et, R1 = Me, R2 = Ac, R3 = HO) in EtOH contg. Redex CF resin gave III.

IT **67983-59-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 67983-59-9 CAPLUS

CN 19-Norpregna-4,9-diene-3,20-dione, 17-hydroxy-11-phenyl-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

=> d ibib ab fqhit 1-24

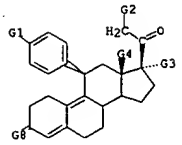
L11 ANSWER 1 OF 24 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 135:304062 MARPAT
TITLE: Preparation of 17.alpha.-substituted-11.beta.-substituted-4-aryl and 21-substituted 19-norpregna-4,9-diene-3,20-dione derivatives as new antiprogestational agents
INVENTOR(S): Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K.; Simmons, Anne Marie
PATENT ASSIGNEE(S): Secretary of Health and Human Services, USA
SOURCE: PCT Int. Appl., 171 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001074840	A2	20011011	WO 2001-US8681	20010316
WO 2001074840	A3	20020502		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001045849	A5	20011015	AU 2001-45849	20010316
PRIORITY APPLN. INFO.:			US 2000-526855	20000317
			WO 2001-US8681	20010316

AB 19-Norpregna-4,9-diene-3,20-dione derivs. [I; R1 = OMe, SMe, NMe2, NHMe, NC4H8, NC5H10, NC4H8O, CHO, CH(OH)Me, C(O)Me, O(CH2)2NMe2, and -O(CH2)2NC5H10; R2 = H, halogen, alkyl, acyl, hydroxy, alkoxy, acyloxy, alkylcarbonate, cypionyloxy, S-alkyl, -SCN, S-acyl and -OC(O)R6; R6 = alkyl, alkoxy ester, alkoxy; R3 = alkyl, hydroxy, alkoxy and acyloxy; R4 = H, alkyl; X = O, (substituted) NOH] were prepd as antiprogestational agents. The present invention provides methods wherein I were advantageously used, inter alia, to antagonize endogenous progesterone; to induce menses; to treat endometriosis; to treat dysmenorrhea; to treat endocrine hormone-dependent tumors; to treat meningiomas; to treat uterine leiomyomas; to treat uterine fibroids; to inhibit uterine endometrial proliferation; to induce cervical ripening; to induce labor; and for contraception. Thus, norpregnadienedione deriv. II was prepd. from 3,3-ethylenedioxy-17.beta.-cyano-17.alpha.-hydroxyestra-5(10),9(11)-diene and 4-bromo-N,N-dimethylaniline in 9 steps which showed 2.79 times the antiprogestational potency in the antiClauberg test compared to CDB-2914.

MSTR 1

L11 ANSWER 1 OF 24 MARPAT COPYRIGHT 2002 ACS (Continued)



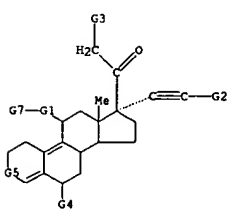
G3 = Ak<(1-12)> (SO)
G4 = Me
G8 = O
MPL: claim 1

L11 ANSWER 2 OF 24 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 134:208009 MARPAT
TITLE: Preparation of 17.beta.-acyl-17.alpha.-propynyl-11.beta.-(cyclic amino)aryl steroids and their derivatives having antagonist hormonal properties
INVENTOR(S): Cook, C. Edgar; Kepler, John A.; O'Reilly, Jill M.
PATENT ASSIGNEE(S): Research Triangle Institute, USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001018025	A2	20010315	WO 2000-US24274	20000905
WO 2001018025	A3	20010920		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000071113	A5	20010410	AU 2000-71113	20000905
EP 1208113	A2	20020529	EP 2000-959867	20000905
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
NO 2002001037	A	20020405	NO 2002-1037	20020301
PRIORITY APPLN. INFO.:			US 1999-389212	19990903
			WO 2000-US24274	20000905

AB The invention is directed to the prepn. of 17.beta.-acyl-17.alpha.-propynyl steroids of formula I [R1 = heterocycle; R2 = Me, CF3, CH2OH; R3 = H, Me, OMe, OAc, halo; R4 = H, Me, F, Cl; X = O, H2, NOH, NOMe] which exhibit potent antiprogestational activity. Thus, II was prepd. from 17.beta.-cyano-3,3-(ethanediyldioxy)-17.alpha.-trimethylsilyloxy-5(10),9(11)-diene in 8 steps. The anti-McGinty assay for antiprogestational activity shows II to be an exceptionally potent antiprogestational agent with a marked effect at 0.3 .mu.g dose.

MSTR 1



L11 ANSWER 2 OF 24 MARPAT COPYRIGHT 2002 ACS (Continued)
G1 = phenylene
G2 = Me
G5 = 34

G6 = O
MPL: claim 1
NTE: and pharmaceutically acceptable salts

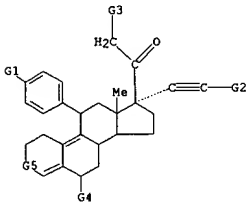
L11 ANSWER 3 OF 24 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 133:17687 MARPAT
TITLE: Preparation of 17.beta.-acyl-17.alpha.-propynyl-11.beta.-arylsteroids and their derivatives having agonist or antagonist hormonal properties
INVENTOR(S): Cook, C. Edgar; Kepler, John A.; O'Reilly, Jill M.
PATENT ASSIGNEE(S): Research Triangle Institute, USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000034306	A1	20000615	WO 1999-US28535	19991203
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6172052	B1	20010109	US 1998-205395	19981204
EP 1135403	A1	20010926	EP 1999-964047	19991203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1998-205395 19981204
WO 1999-US28535 19991203

AB Novel 17.beta.-acyl-17.alpha.-propynyl steroids of formula I [R1 = NMe2, NHMe, NH2; R2 = Me, CF3, CH2OH; R3 = H, Me, OMe, OAc; R4 = H, Me, F, Cl; X = O, H2, NOH, NOMe] are prepd. which exhibit potent antiprogestational activity. Thus, II was prepd. from estrone in many steps. The relative progesterone binding activity of II was 313% of promegestone.

MSTR 1



L11 ANSWER 3 OF 24 MARPAT COPYRIGHT 2002 ACS (Continued)
G2 = Me
G5 = 34

G6 = O
DER: and pharmaceutically acceptable salts
MPL: claim 1

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

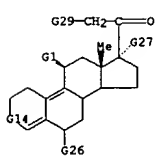
L11 ANSWER 4 OF 24 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 131:199885 MARPAT
TITLE: Preparation of 20-keto-11.beta.-arylsteroids and their derivatives having agonist or antagonist hormonal properties
INVENTOR(S): Cook, C. Edgar; Kepler, John A.; Zhang, Ping-sheng; Lee, Yue-wei; Tallent, C. Ray
PATENT ASSIGNEE(S): Research Triangle Institute, USA
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9945022	A1	19990910	WO 1999-US3732	19990305
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6020328	A	20000201	US 1998-35949	19980306
CA 2322862	AA	19990910	CA 1999-2322862	19990305
AU 9928715	A1	19990920	AU 1999-28715	19990305
EP 1060186	A1	20001220	EP 1999-909531	19990305
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9908598	A	20011002	BR 1999-8598	19990305
JP 2002505334	T2	20020219	JP 2000-534564	19990305

PRIORITY APPLN. INFO.: US 1998-35949 19980306
WO 1999-US3732 19990305

AB 20-Keto-11.beta.-arylsteroids of formula I [X = O, (substituted) NOH, H2, OH, etc.; R1 = dialkylamino, imidazolyl, pyrrolyl, piperidino, etc.; R2 = H, halo; R3 = H, Me, halo; R4 = H, acyloxy, (substituted) OH, alkyl, etc.; R5 = H, alkyl, halo, acyloxy, etc.] are prepd. which exhibit potent antiprogestational activity. Thus, II was prepd. from 17.alpha.-hydroxymethyl-3-methoxy-19-norpregna-1,3,5(10)-trien-20-one and 4-bromo-N,N-dimethylaniline in several steps. The affinity of II for the progesterone hormone receptor was IC50 of 0.7 nM.

MSTR 1A



G2 = phenylene (SO (1) G3)

L11 ANSWER 4 OF 24 MARPAT COPYRIGHT 2002 ACS (Continued)
G14 = 128

G15 = O
G27 = alkyl<(1-4)> (SO)
DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted; also incorporates claim 3

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

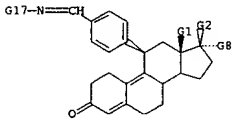
L11 ANSWER 5 OF 24 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 130:282222 MARPAT
TITLE: Method for the preparation and pharmaceutic formulation of 11.beta.-benzaldoxime-9.alpha.,10.alpha.-epoxy-estr-4-ene derivatives
INVENTOR(S): Schubert, Gerd; Ring, Sven; Kaufmann, Guentar; Schneider, Birgitt; Elger, Walter
PATENT ASSIGNEE(S): Jenapharm G.m.b.H. und Co. K.-G., Germany
SOURCE: Ger. Offen., 16 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19745085	A1	19990415	DE 1997-19745085	19971011
EP 909764	A1	19990421	EP 1998-118613	19981001
EP 909764	B1	19990929		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 185145	E	19991015	AT 1998-118613	19981001

PRIORITY APPLN. INFO.: DE 1997-19745085 19971011

AB 11.beta.-Benzaldoxime-9.alpha.,10.alpha.-epoxy-estr-4-ene derivs., e.g. I (R1 = H, C1-6-alkyl; R2 = H, C1-10-alkyl, aryl, aralkyl, alkylaryl, C1-10-acyl, CONHR4, CO2R4; R3 = H, C1-10-alkyl, aryl, aralkyl, alkylaryl, (CH2)nCH2Y; R4 = H, C1-10-alkyl, aryl, aralkyl, alkylaryl; Y = F, Cl, Br, I, CN, N3, SCN, OR5, SR5; n = 0 - 2; R5 = H, C1-10-alkyl, aryl, aralkyl, alkylaryl, C1-10-acyl), are described. Thus, (E)-I (R1 = R2 = Me, R3 = CH2OMe, Z = H) was prepd. via regioselective epoxidn. of estradienone II (R1 = R2 = Me, R3 = CH2OMe, Z = H) with m-chloroperbenzoic acid in CH2Cl2. (E)-I (R1 = R2 = Me, R3 = CH2OMe, Z = H) showed 88% affinity for the progesterone receptor but only 12% affinity for the glucocorticoid receptor.

MSTR 2



G1 = Me
G2 = 82

G8 = 51

L11 ANSWER 5 OF 24 MARPAT COPYRIGHT 2002 ACS (Continued)

G12 = alkyl<(1-10)>
DER: or pharmaceutically acceptable salts
MPL: claim 1

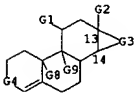
L11 ANSWER 6 OF 24 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 129:50105 MARPAT
TITLE: Uses of anti-glucocorticoid compounds for the treatment of psychoses or addictive behaviors
INVENTOR(S): Oberlander, Claude; Piazza, Pier Vincenzo
PATENT ASSIGNEE(S): Hoechst Marion Roussel, Fr.; Oberlander, Claude; Piazza, Pier Vincenzo
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9826783	A1	19980625	WO 1997-FR2320	19971217
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
FR 2757400	A1	19980626	FR 1996-15649	19961219
FR 2757400	B1	19991217		
AU 9855632	A1	19980715	AU 1998-55632	19971217
EP 892641	A1	19990127	EP 1997-952078	19971217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

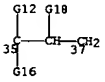
PRIORITY APPLN. INFO.: FR 1996-15649 19961219
WO 1997-FR2320 19971217

AB Glucocorticoid antagonists, except mifepristone, are used as dopamine type II receptor antagonists to treat psychotic or addictive behavior. Thus, 17.beta.-hydroxy-10.beta.-[(4-methylphenyl)methyl]-17.alpha.-(1-propynyl)estra-4,9(11)-dien-3-one considerably reduced the response to morphine in vivo.

MSTR 1



G1 = Ph (SO (1-) G11)
G2 = Me
G3 = 35-13 37-14



L11 ANSWER 6 OF 24 MARPAT COPYRIGHT 2002 ACS (Continued)
G4 = C(O)
G12 = COMe
G16 = alkyl<(1-12)> (SO G17)
DER: and pharmaceutically acceptable acid addition salts
MPL: claim 4
NTE: substitution is restricted

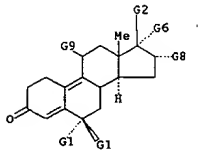
L11 ANSWER 7 OF 24 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 128:188869 MARPAT
TITLE: Mixed agonists of the progesterone receptor and assays for them
INVENTOR(S): McDonnell, Donald P.; Wagner, Brandee L.
PATENT ASSIGNEE(S): Duke University, USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9805679	A2	19980212	WO 1997-US13754	19970805

W: CA
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
PRIORITY APPLN. INFO.: US 1996-23206P 19960805

AB A third class of PR-ligand (i.e. mixed agonist) is identified which induces a progesterone receptor conformation distinct from that induced by a PR agonist or antagonist; the agonists are estra-4,9-dien-3-one derivs. PR mixed agonists exhibit partial agonist activity which is influenced by cell context. These compds. provide useful pharmacol. profiles for treating progesterone related diseases and/or conditions, such as uterine proliferation from estrogen administration, endometriosis, breast cancer, fibroids, endometrial cancer, and brain meningiomas. The agonists can also be used as contraceptives. Assays are provided to screen for PR mixed agonists. Mol. designs are provided to convert a PR antagonist to a PR mixed agonist.

MSTR 1



G2 = 30

G3 = CO2H
G6 = alkyl<(1-6)> (SO)
G9 = 52

L11 ANSWER 7 OF 24 MARPAT COPYRIGHT 2002 ACS (Continued)

MPL: claim 4

L11 ANSWER 8 OF 24 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 127:358992 MARPAT
TITLE: Preparation of 21-substituted progesterone derivatives as new antiprogestational agents
INVENTOR(S): Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K.
PATENT ASSIGNEE(S): United States Dept. of Health and Human Services, USA; Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K.
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

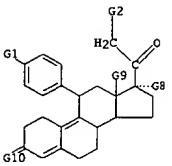
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9741145	A1	19971106	WO 1997-US7373	19970430
CA 2253673	AA	19971106	CA 1997-2253673	19970430
AU 9729304	A1	19971119	AU 1997-29304	19970430
AU 710139	B2	19990916		
EP 900234	A1	19990310	EP 1997-923523	19970430
EP 900234	B1	20000705		
AT 194358	E	20000715	AT 1997-923523	19970430
JP 2000509396	T2	20000725	JP 1997-539232	19970430
ES 2152671	T3	20010201	ES 1997-923523	19970430
US 2002025951	A1	20020228	US 1999-180132	19990524

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI
PRIORITY APPLN. INFO.: US 1996-16628P 19960501
WO 1997-US7373 19970430

AB Progesterone derivs. of formula I [R1 = OMe, SMe, NMe2, NHMe, CHO, Ac, CHOHCH3; R2 = halo, alkyl, acyl, OH, alkoxy, etc.; R3 = OH, alkyl, alkoxy, acyloxy; R4 = H, alkyl; X = O, (substituted) NOH] are prepd. as antiprogestational agents. The present invention provides methods wherein the compds. of formula I are advantageously used, inter alia, to antagonize endogenous progesterone; to induce menses; to treat endometriosis; to treat dysmenorrhea; to treat endocrine hormone-dependent tumors; to treat uterine fibroids; to inhibit uterine endometrial proliferation; to induce labor; and for contraception. Thus, II was prepd. from 3,3-ethylenedioxy-17.beta.-cyano-17.alpha.-hydroxyestra-5(10),9(11)-diene and 4-bromo-N,N-dimethylaniline in 9 steps. II showed 2.79 times the antiprogestational potency in the antiClauberg test compared to CDB-2914.

MSTR 1

L11 ANSWER 8 OF 24 MARPAT COPYRIGHT 2002 ACS (Continued)



G8 = alkyl<(1-12)>
G9 = Me
G10 = O
MPL: claim 1

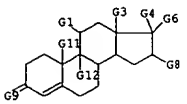
L11 ANSWER 9 OF 24 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 124:22540 MARPAT
TITLE: Pharmaceutical compositions of antiglucocorticoid compounds for treating or preventing symptoms of spontaneous or narcotic-induced withdrawal.
INVENTOR(S): Petit, Francis; Philibert, Daniel; Ulmann, Andre
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 676203	A1	19951011	EP 1995-400764	19950406
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
FR 2718354	A1	19951013	FR 1994-4156	19940408
FR 2718354	B1	19960503		
ZA 9502058	A	19960313	ZA 1995-2058	19950313
CA 2146600	AA	19951009	CA 1995-2146600	19950407
FI 9501683	A	19951009	FI 1995-1683	19950407
AU 9516326	A1	19951019	AU 1995-16326	19950407
JP 07278017	A2	19951024	JP 1995-107071	19950407
HU 71468	A2	19951128	HU 1995-1019	19950407
CN 1116929	A	19960221	CN 1995-104015	19950407

PRIORITY APPLN. INFO.: FR 1994-4156 19940408

AB Antiglucocorticoid steroids such as mifepristone, onapristone, lilopristone and related steroids are proposed for the prevention or treatment of withdrawal syndromes, either spontaneous or pptd. by narcotics or mixts. of narcotics. These antiglucocorticoids would be useful in the withdrawal from morphinomimetics such as heroin, morphine or methadone as well as cocaine. Pharmacol. activity was demonstrated by the effect of the antiglucocorticoids on the stereotypic behavior of mice in response to narcotics. Spontaneous withdrawal syndrome was induced by administration of the opioid antagonist, naloxone. An antiprogesterone activity of the steroids in their action mechanism was eliminated. Results confirmed the involvement of endogenous glucocorticoids in morphine withdrawal since this is inhibited by antiglucocorticoids or adrenalectomy.

MSTR 2



G1 = Ph (SO (1-) G2)
G3 = Me
G4 = 21

L11 ANSWER 9 OF 24 MARPAT COPYRIGHT 2002 ACS (Continued)

21 C(O)-G5

G5 = Me
G6 = alkyl<(1-12)> (SO (1-) G7)
G9 = O
DER: and pharmaceutically acceptable addition salts
DER: and pharmaceutically acceptable addition salts
MPL: claim 7

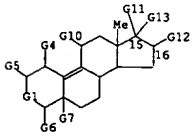
L11 ANSWER 10 OF 24 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 123:218391 MARPAT
TITLE: Steroids for reducing multidrug resistance to cancer chemotherapeutic agents
INVENTOR(S): Cohn, Suzanne Bourgeois; Gruol, Donald J.
PATENT ASSIGNEE(S): Salk Institute for Biological Studies, USA
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9517192	A1	19950629	WO 1994-US14624	19941219
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9514395	A1	19950710	AU 1995-14395	19941219

PRIORITY APPLN. INFO.: US 1993-173243 19931222
WO 1994-US14624 19941219

AB Certain steroid-like compds. [I; R1 = H; R2 = OR; or R1R2 = :O; R = H, lower alkyl, Me3Si; R3 = H, Me, or absent if double bond or epoxide bridge joins C9 and C10; R4 = OR', C4-18 cyclic org. group contg. O, N, P, or Si; R' = lower alkyl, Me3Si; R5 = H, OR; or R5C16C17 form a 3-, 5-, 6-, or 7-membered ring; R6 = C(O)CH3, CH(OH)CH3, C(O)CH2OH, (substituted) hydrocarbyl; R9 = H, halo, or absent if double bond or epoxide bridge joins C9 and C10] are capable of inhibiting the P-glycoprotein-assocd. efflux pump which is considered responsible for multidrug resistance. Chemotherapy can be enhanced by facilitating the accumulation of drug at the target site, with reduced or eliminated competition by the drug efflux system. Thus RU 38486, an antiprogestin, at 5 .mu.M facilitated killing of multidrug-resistant S7CD-5 murine thymoma cells by 20 .mu.M puromycin.

MSTR 18



G1 = C(O)
G10 = Ph (SO (1-2) G16)
G11 = OH
G13 = COMe
MPL: claim 1

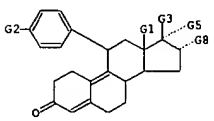
L11 ANSWER 10 OF 24 MARPAT COPYRIGHT 2002 ACS (Continued)

L11 ANSWER 11 OF 24 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 123:112512 MARPAT
TITLE: 11.beta.-aryl-gona-4,9-dien-3-ones
INVENTOR(S): Kasch, Helmut; Bertram, Gudrun; Ponsold, Kurt; Schubert, Gerd; Roehrig, Heidemarie; Kurischko, Anatoli; Menzenbach, Bernd
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: U.S., 12 pp. Cont. of U.S. Ser. No. 769,271, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5407928	A	19950418	US 1993-153558	19931117
US 5739125	A	19980414	US 1995-391570	19950221
PRIORITY APPLN. INFO.:			US 1990-567368	19900815
			US 1991-769271	19911001
			US 1993-153558	19931117

AB This invention relates to 11.beta.-arylgona-4,9-dienes I [R = propynyl, CH2OMe; R1 = Me, Et; R2 = alkoxy, alkylthio, NMe2, CN, CHO, Ac, CHMeOH]. The compds. are progesterone antagonists and are suitable for inducing labor or an abortion. Thus, I [R = CH2OMe, R1 = Me, R2 = Ac, II] was prepd. from 3,3-dimethoxy-17.alpha.-methoxymethylestra-5(10),9(11)-dien-17.beta.-ol by methoxylation, epoxidn., reaction with 4-AcC6H4Br ethylene ketal, and deblocking. At a total dose of 2 mg over 4 days, II was 100% effective in causing abortions in rats.

MSTR 2



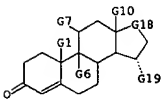
G1 = Me
G3 = COMe
G5 = alkyl<(1-4)>
MPL: disclosure
NTE: substitution is restricted

L11 ANSWER 12 OF 24 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 122:256423 MARPAT
TITLE: Antiglucocorticoid steroids for the treatment of anxiety disorders
INVENTOR(S): Peeters, Bernardus Wynand Machijs Maria
PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9504536	A1	19950216	WO 1994-EP2513	19940728
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9474968	A1	19950228	AU 1994-74968	19940728
AU 687088	B2	19980219		
EP 712311	A1	19960522	EP 1994-924819	19940728
EP 712311	B1	19981007		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09501172	T2	19970204	JP 1994-506200	19940728
AT 171873	E	19981015	AT 1994-924819	19940728
ES 2124905	T3	19990216	ES 1994-924819	19940728
US 5741787	A	19980421	US 1996-581631	19960118
PRIORITY APPLN. INFO.:			EP 1993-202304	19930804
			EP 1994-924819	19940728
			WO 1994-EP2513	19940728

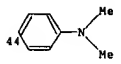
AB Antiglucocorticoid steroids are used for the manuf. of a pharmaceutical compn. for the treatment of anxiety disorders. The anxiolytic effect of 11.beta.-(4-dimethylaminophenyl)-17.beta.-hydroxy-17.alpha.-(prop-1-ynyl)-estra-4,9-dien-3-one (RU38486) was demonstrated in animal testing (antagonism of fear-potentiated startle). Prepn. and activity (antagonism of stress-induced hyperthermia) of selected steroids of the invention is also described.

MSTR 1



G7 = 44

L11 ANSWER 12 OF 24 MARPAT COPYRIGHT 2002 ACS (Continued)



G10 = Me
G11 = alkyl<(1-6)> (SO (1-) G12)
G16 = alkylcarbonyl<(1-5)> (SO (1-) G17)
G18 = 39

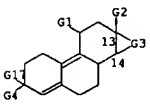
MPL: claim 2

L11 ANSWER 13 OF 24 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 116:35156 MARPAT
TITLE: Preparation and use of antiprogestomimetics for synchronization of parturition in livestock
INVENTOR(S): Grandadam, Jean Andre
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

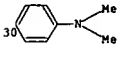
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 446124	A2	19910911	EP 1991-400594	19910305
EP 446124	A3	19920527		
R: AT, BE, CH, DE, DK, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2659233	A1	19910913	FR 1990-2783	19900306
FR 2659233	B1	19940121		
CA 2037549	AA	19910907	CA 1991-2037549	19910305
AU 9172608	A1	19910912	AU 1991-72608	19910305
AU 642975	B2	19931104		
ZA 9101603	A	19920527	ZA 1991-1603	19910305
JP 04211610	A2	19920803	JP 1991-62496	19910305
RU 2037295	C1	19950619	RU 1991-4895041	19910305
CN 1055665	A	19911030	CN 1991-102108	19910306
HU 59006	A2	19920428	HU 1991-729	19910306
PRIORITY APPLN. INFO.:			FR 1990-2783	19900306

AB The title antiprogestomimetics are I (R1 = C1-18 hydrocarbyl optionally substituted with .gtoreq.1 heteroatoms and bonded to the steroid by a C; R2 = C1-8 hydrocarbyl; X = remainder of 5- and 6-membered ring optionally substituted and optionally unsatd.; C = A = CNOH, oxo (free or blocked as ketal), etc.; B and C together form a double bond or epoxide bridge) and acid addn. salts thereof. Prepn. of 2 I are described. 17.beta.-Hydroxy-11.beta.-(4-dimethylaminophenyl)-17.alpha.-(prop-1-ynyl)estra-4,9-dien-3-one (II) was more effective at synchronizing parturition than cloprostenol when tested in sows. Injectable pharmaceuticals contg. II are disclosed.

MSTR 1C

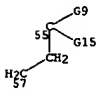


G1 = 30

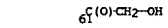


G2 = Me
G3 = 55-13 57-14

L11 ANSWER 13 OF 24 MARPAT COPYRIGHT 2002 ACS (Continued)

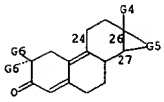


G9 = alkenyl<(2-8)>
G15 = 61



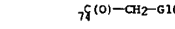
G4 +G17= O
DER: and protected derivatives
DER: and acid addition salts
MPL: claim 1

L11 ANSWER 14 OF 24 MARPAT COPYRIGHT 2002 ACS (Continued)



G4 = Me
G5 = 68-26 70-27

G9 = 74



G13 = alkenyl<(2-8)>
MPL: claim 6

L11 ANSWER 14 OF 24 MARPAT COPYRIGHT 2002 ACS

ACCESSION NUMBER:	115:214857 MARPAT
TITLE:	Injectable microspheres containing antiestrogenic and antiprogestomimetic steroids
INVENTOR(S):	Cohen, Gerard; Dubois, Jean Luc
PATENT ASSIGNEE(S):	Roussel-UCLAF, Fr.
SOURCE:	Ger. Offen., 15 pp. CODEN: GWXXBX
DOCUMENT TYPE:	Patent
LANGUAGE:	German
FAMILY ACC. NUM. COUNT:	1

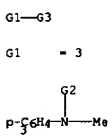
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4036425	A1	19910516	DE 1990-4036425	19901115
FR 2654337	A1	19910517	FR 1989-14976	19891115
FR 2654337	B1	19940805		
SE 9003570	A	19910516	SE 1990-3570	19901109
BE 1005511	A4	19930831	BE 1990-1062	19901109
DK 9002709	A	19910516	DK 1990-2709	19901113
CA 2029940	AA	19910516	CA 1990-2029940	19901114
JP 03294229	A2	19911225	JP 1990-306374	19901114
CH 681691	A	19930514	CH 1990-3611	19901114
NL 9002492	A	19910603	NL 1990-2492	19901115
GB 2239798	A1	19910717	GB 1990-24862	19901115
GB 2239798	B2	19931027		
AT 9002313	A	19950415	AT 1990-2313	19901115
AT 400298	B	19951127		

PRIORITY APPLN. INFO.: FR 1989-14976 19891115

AB Biodegradable microspheres comprise the title steroids (Markush given) and copolymers of lactic acid with glycolic acid. A mixt. of 250 mL aq. 0.3% hydrolyzed PVA soln., 1 g poly(DL-lactic acid-glycolic acid), 17 g CH2Cl2, and 0.5 g 17.beta.-hydroxy-11.beta.-[4-(dimethylamino)phenyl]-17.alpha.-(1-propynyl)estra-4,9-dien-3-one was emulsified, followed by stirring at 22.degree. and decreasing pressure (.gtoreq.400 mm Hg) to give microspheres, which were used for the prepn. of injections.

MSTR 1A



G1 = 3

G3 = 24

L11 ANSWER 15 OF 24 MARPAT COPYRIGHT 2002 ACS

ACCESSION NUMBER:	115:151901 MARPAT
TITLE:	Use of antiprogestomimetics for stimulating ovulation, and new preparation for use in pharmaceutical compositions
INVENTOR(S):	Grandadam, Jean Andre
PATENT ASSIGNEE(S):	Roussel-UCLAF, Fr.
SOURCE:	Eur. Pat. Appl., 24 pp. CODEN: EPXXDW
DOCUMENT TYPE:	Patent
LANGUAGE:	French
FAMILY ACC. NUM. COUNT:	1

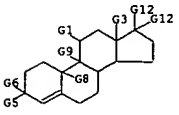
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 417003	A2	19910313	EP 1990-402449	19900906
EP 417003	A3	19911204		
EP 417003	B1	19940629		
R: AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE				
FR 2651435	A1	19910308	FR 1989-11699	19890907
FR 2651435	B1	19940422		
US 5173493	A	19921222	US 1990-578894	19900905
CA 2024728	AA	19910308	CA 1990-2024728	19900906
AU 9062259	A1	19910314	AU 1990-62259	19900907
AU 623805	B2	19920521		
JP 03099015	A2	19910424	JP 1990-236004	19900907
JP 3032258	B2	20000410		

PRIORITY APPLN. INFO.: FR 1989-11699 19890907

AB Anti-progestomimetic compds., e.g. I [R1 = C1-18 hydrocarbyl with optionally .gtoreq.1 heteroatoms, bonded to the steroid by a C; R2 = C1-8 hydrocarbyl; X = rest of 5- or 6-membered (substituted) (unsatd.) ring; A:C = oxo (free or in ketal), CH(OH), CH(OR3), CH(O2CR3), etc.; R3 = C1-8 alkyl, C7-15 aralkyl; B and C together form a double bond or epoxide bridge] and their acid and base addn. salts, are used for making pharmaceuticals for stimulating ovulation, e.g. in cows. The compds. of the invention are preferably used following treatment with progesterone or a progestomimemetic, e.g. 3-oxo-17.alpha.-allyl-17.beta.-hydroxyestra-4,9,11-triene (II). Thus, heifer cows were 1st administered II for 17 days; on the day following the last administration, the animals were injected with 17.beta.-hydroxy-11.beta.-(4-dimethylaminophenyl)-17.alpha.-(prop-1-ynyl)estra-4,9-dien-3-one. All of the heifers came to heat after a very short delay period, and LH levels rose very rapidly. Prepn. of 12 anti-progestomimetics is presented.

MSTR 1E



G1 = 85

L11 ANSWER 15 OF 24 MARPAT COPYRIGHT 2002 ACS (Continued)

G3 = Me
G12 = alkenyl<(2-8)> (SO (1-) X) / 96

G14 = 98

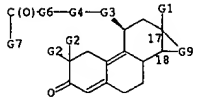
G5 +G6 = O
DER: or acid or base addition salts
MPL: claim 2
NTE: oxo formed by G5 and G6 may be protected as a ketal

L11 ANSWER 16 OF 24 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 115:9125 MARPAT
TITLE: Preparation of .omega.-[(3-oxoestra-4,9-dien-11.beta.-yl)phenylamino]alkanoates as antiglucocorticoids
INVENTOR(S): Moguilewsky, Martine; Nedelec, Lucien; Nique, Francois; Philibert, Daniel
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 414606	A2	19910227	EP 1990-402328	19900822
EP 414606	A3	19910724		
EP 414606	B1	19941102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2651233	A1	19910301	FR 1989-11173	19890823
FR 2651233	B1	19911213		
CA 2022648	AA	19910224	CA 1990-2022648	19900803
ZA 9006341	A	19911030	ZA 1990-6341	19900810
US 5166146	A	19921124	US 1990-568597	19900816
JP 03090097	A2	19910416	JP 1990-217281	19900820
JP 3026997	B2	20000327		
IL 95451	A1	19950731	IL 1990-95451	19900821
AU 9061189	A1	19910228	AU 1990-61189	19900822
AU 634569	B2	19930225		
HU 54706	A2	19910328	HU 1990-5275	19900822
HU 208154	B	19930830		
ES 2063313	T3	19950101	ES 1990-402328	19900822
CN 1051362	A	19910515	CN 1990-107161	19900823
CN 1033808	B	19970115		
RU 2041236	C1	19950809	RU 1992-5011511	19920518

PRIORITY APPLN. INFO.: FR 1989-11173 19890823
OTHER SOURCE(S): CASREACT 115:9125
AB The title compds. [I; R1 = aliph. hydrocarbyl; R2 = H, (un)substituted alkyl; R5, R6 = H, alkyl; X = atoms to complete an (un)substituted 5- or 6- membered ring; Z = (un)salified CO2H; n = 1-6] were prepd. Thus, aminophenylestradienone II (R = R5 = R6 = H) was condensed with BrCH2CO2Me to give, after sapon., II (R = CH2CO2Na, R5 = R6 = H) which at 10-6M in vitro gave 82% inhibition of uridine incorporation into rat thymocytes.

MSTR 1A



L11 ANSWER 16 OF 24 MARPAT COPYRIGHT 2002 ACS (Continued)
G1 = Me
G3 = phenylene
G9 = 39-18 37-17

G10 = (1-2) 45

G13 = alkyl<(2-8)> (SO) / 53

G16 = 68

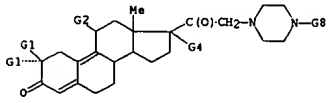
MPL: claim 1

L11 ANSWER 17 OF 24 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 114:229227 MARPAT
TITLE: Preparation of 19-nor 3-oxo steroids with an amine substituted 17-chain as antioxidants and antinflammatories: their use as medicines and pharmaceutical composition containing them
INVENTOR(S): Claussner, Andre; Leclaire, Jacques; Nedelec, Lucien; Philibert, Daniel
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

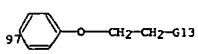
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 389370	A1	19900926	EP 1990-400784	19900322
EP 389370	B1	19940427		
R: CH, DE, FR, GB, IT, LI, NL				
FR 2644789	A1	19900928	FR 1989-3742	19890322
FR 2644789	B1	19950203		
JP 02273693	A2	19901108	JP 1990-68508	19900320
JP 2848907	B2	19990120		
US 5108996	A	19920428	US 1990-497562	19900321

PRIORITY APPLN. INFO.: FR 1989-3742 19890322
OTHER SOURCE(S): CASREACT 114:229227
AB The title compds. [I; R1, R2 = H, Me; R11 = (poly)(hetera)hydrocarbyl; one of R17 and R18 is OH or acyloxy and the other is Q; Z = alkylene, alkenylene, alkynylene; P = (substituted) pyrimidinyl, pyridyl] were prepd. via reacting the halo derivs. II or III (X = halo) with the appropriate pyrimidinyl or pyridine deriv. IV. Reaction of estradienone V [R3 = 3-bromo-1-propynyl, R4 = OH] (prepn. given) was reacted with 2,4-bis(1-pyrrolidinyl)-6-(1-piperazinyl)pyrimidine (prepn. given) in acetone contg. K2CO3 at ambient temp. for 2 h to give V [R3 = 3-[4-[2,6-bis(1-pyrrolidinyl)-4-pyrimidinyl]-1-piperazinyl]-1-propynyl; R4 = OH]. At 5 .times. 10-4 M this inhibited in vitro the formation of malonyldialdehyde, a measure of lipid peroxidn., in rat brain homogeneate by .apprx.47.5%.

MSTR 1C



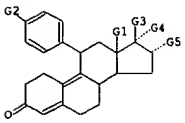
G2 = 97



G4 = OH

L11 ANSWER 17 OF 24 MARPAT COPYRIGHT 2002 ACS (Continued)
DER: and salts
MPL: claim 1
NTE: the alkylamino and dialkylamino groups in G11 may be interrupted by oxygen, sulfur, or nitrogen

L11 ANSWER 18 OF 24 MARPAT COPYRIGHT 2002 ACS (Continued)



G1 = Me
G3 = COMe
G4 = alkyl<(1-4)>
MPL: claim 1

L11 ANSWER 18 OF 24 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 114:229226 MARPAT
TITLE: 11.beta.-Arylgona-4,9-dien-3-ones
INVENTOR(S): Kasch, Helmut; Bertram, Gudrun; Ponsold, Kurt; Schubert, Gerd; Roehrig, Heidemarie; Kurischko, Anatoli; Menzenbach, Bernd
PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 411733	A2	19910206	EP 1990-250199	19900806
EP 411733	A3	19920122		
EP 411733	B1	19981021		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DD 290893	A5	19910613	DD 1989-331479	19890804
DD 289537	A5	19910502	DD 1989-331818	19890816
DD 299068	A5	19920326	DD 1989-333409	19891009
WO 9101958	A2	19910221	WO 1990-DE614	19900806
WO 9101958	A3	19911212		
W: JP				
JP 05504759	T2	19930722	JP 1990-511174	19900806
JP 3202224	B2	20010827		
AT 172469	E	19981115	AT 1990-250199	19900806
ES 2127181	T3	19990416	ES 1990-250199	19900806
PRIORITY APPLN. INFO.:			DD 1989-331479	19890804
			DD 1989-331818	19890816
			DD 1989-333409	19891009
			WO 1990-DE614	19900806

OTHER SOURCE(S): CASREACT 114:229226
AB Arylgonadienones I [R = alkoxy, alkylthio, NMe2, NHMe, cyano, CHO, Ac, CHMeOH; R1 = Me, Et; R2 = OH, Me, Et, CHO, Ac, cyano, OSiMe2CMe3, alkoxyalkyl, acyloxyethoxy, alkoxymethoxy, acyloxy, alkoxy; R3 = C.tplbond.CH, C.tplbond.CMe, C.tplbond.CCH2OH, 3-acyloxyl-1-propynyl, 3-acyloxy-1-propenyl, 3-acyloxypropyl, CH:CHCH2OH, (CH2)3OH; R4 = H, alkyl; R3R4 = CH2, (CH2)4] were prepd. by treating gonanols II with an acid. Thus, II (R = 2-methyl-1,3-dioxolan-2-yl, R1 = Me, R2 = OMe, R3 = C.tplbond.CH, R4 = R7 = H, R5R6 = CH2CH2) was prepd. from 3,3-dimethoxy-17.alpha.-ethynyl-13-methylgon-5(10)-en-3-one in 6 steps via reaction with 2-methyl-1,3-dioxolan-2-ylmagnesium bromide and was treated with 70% aq. AcOH to give I (R = Ac, R1 = Me, R2 = OMe, R3 = C.tplbond.CH, R4 = H, III). At 2 mg/day for 4 days in rats III gave 100% contraception.

MSTR 1B

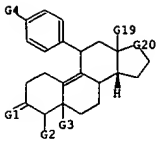
L11 ANSWER 19 OF 24 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 113:115677 MARPAT
TITLE: Preparation of androstanone derivatives as drugs
INVENTOR(S): Scholz, Stefan; Neef, Guenter; Ottow, Eckhard; Elger, Walter; Beier, Sybille; Chwalisz, Krzysztof
PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 360369	A1	19900328	EP 1989-250040	19890920
EP 360369	B1	19950503		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 3832303	A1	19900412	DE 1988-3832303	19880920
IL 91672	A1	19941229	IL 1989-91672	19890918
WO 9003385	A1	19900405	WO 1989-EP1090	19890920
W: AU, DK, FI, HU, JP, NO, US				
AU 8943049	A1	19900418	AU 1989-43049	19890920
AU 640616	B2	19930902		
ZA 8907191	A	19901031	ZA 1989-7191	19890920
DD 284682	A5	19901121	DD 1989-332836	19890920
HU 56851	A2	19911028	HU 1989-5541	19890920
HU 208151	B	19930830		
JP 04501712	T2	19920326	JP 1989-509963	19890920
JP 2760870	B2	19980604		
AT 122052	E	19950515	AT 1989-250040	19890920
ES 2074073	T3	19950901	ES 1989-250040	19890920
NO 9101102	A	19910319	NO 1991-1102	19910319
DK 9100504	A	19910320	DK 1991-504	19910320
US 5244886	A	19930914	US 1991-663819	19910320
NO 9104772	A	19910319	NO 1991-4772	19911204
PRIORITY APPLN. INFO.:			DE 1988-3832303	19880920
			WO 1989-EP1090	19890920
			NO 1991-1102	19910319

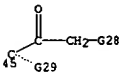
OTHER SOURCE(S): CASREACT 113:115677
AB The title compds. [I; Z = O, hydroxyimino; LM = bond, or L = H and M = .alpha.-OH; AB = bond and D = H and R1 = heteroaryl; or A = H and BD = CH2 and Z = H2; R3, R4 = tetrahydropyranyloxyalkyl, tetrahydropyranyloxyalkynyl, etc.], useful as antiglucocorticoids, neoplasm inhibitors (esp. for breast cancer), progestogen inhibitors, and antiproliferative agents, were prepd. 3-(Tetrahydropyran-2-yloxy)-1-propyne was lithiated with BuLi in THF-hexane and the product treated with 14.beta.-androstan-17-one II (R3R4 = O) (prepn. given) to give II (R3 = Q, R4 = OH) treated with 4N HCl to give I [R1 = OMe, R2 = Me, R3 = (CH2)3OH, BD = CH2, LM = bond, Z = O, A = H] (III). III had higher affinity for the gestagen receptor than the known EP-A 0277676 [11.beta.-[4-(dimethylamino)phenyl]-17.alpha.-hydroxy-17-(3-hydroxypropyl)-14.beta.-estra-4,9-dien-3-one].

MSTR 1A

L11 ANSWER 19 OF 24 MARPAT COPYRIGHT 2002 ACS (Continued)



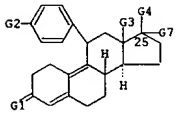
G1 = O
G19 = Me
G20 = 45



G29 = Me
MPL: claim 1

L11 ANSWER 20 OF 24 MARPAT COPYRIGHT 2002 ACS (Continued)

MSTR 1A



G1 = O
G3 = Me
G4 = 37

G7 = Me
MPL: claim 1
NTE: substitution is restricted

L11 ANSWER 20 OF 24 MARPAT COPYRIGHT 2002 ACS

ACCESSION NUMBER: 112:235680 MARPAT
TITLE: Preparation of 13-alkyl-11.beta.-phenylgonanes as antigestagens and antiglucocorticoids
INVENTOR(S): Scholz, Stefan; Ottow, Eckhard; Neef, Guenter; Elger, Walter; Beier, Sybille; Chwalisz, Krzysztof
PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 22 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3822770	A1	19900104	DE 1988-3822770	19880701
IL 90826	A1	19940624	IL 1989-90826	19890630
CA 1334668	A1	19950307	CA 1989-604596	19890630
EP 349481	A1	19900103	EP 1989-730155	19890703
EP 349481	B1	19951102		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
WO 9000174	A1	19900111	WO 1989-DE443	19890703
W: AU, FI, HU, JP, NO				
AU 8938568	A1	19900123	AU 1989-38568	19890703
AU 644060	B2	19931202		
ZA 8905058	A	19900425	ZA 1989-5058	19890703
DD 287511	A5	19910228	DD 1989-330342	19890703
HU 56114	A2	19910729	HU 1989-4130	19890703
HU 208021	B	19930728		
DD 295638	A5	19911107	DD 1989-341722	19890703
JP 03505727	T2	19911212	JP 1989-507188	19890703
JP 2956776	B2	19991004		
US 5273971	A	19931228	US 1989-374809	19890703
AT 129717	E	19951115	AT 1989-730155	19890703
ES 2080079	T3	19960201	ES 1989-730155	19890703
NO 9005609	A	19910228	NO 1990-5609	19901227
NO 180451	B	19970113		
NO 180451	C	19970423		
US 5446036	A	19950829	US 1993-144474	19931102
FI 9504856	A	19951012	FI 1995-4856	19951012
NO 9600829	A	19910228	NO 1996-829	19960229

PRIORITY APPLN. INFO.: DE 1988-3822770 19880701
US 1989-374809 19890703
WO 1989-DE443 19890703
NO 1990-5609 19901227
FI 1990-6441 19901228

AB The title compds. [I; R1 = heterocyclyl, cycylalkyl, cycloalkenyl, alkenyl, etc.; R2 = .alpha.-, .beta.-Me, -Et; R3,R4 = alkoxy, acyl, oxofuryl, alkynyl, etc.; Z = O, NOH], antigestagens and antiglucocorticoids useful for induction of abortion, were prepd. via Grignard reaction of the corresponding 5.alpha.,10.alpha.-epoxy-9(11) unsatd. steroids with p-R1C6H4X (X = halo). Grignard reaction of epoxy steroid II (prepn. given) with p-CH2:CHC6H4X (X = Br, iodo) gave I [R1 = CH2:CH, R2 = .beta.-Me, R3 = OH, R4 = C.tplbond.CMe, Z = OCH2CMe2CH2O], which was hydrolyzed to give I [Z = O, R1-R4 same as above]. This at 3.0 mg s.c./day induced abortion in 100% of rats tested.

L11 ANSWER 21 OF 24 MARPAT COPYRIGHT 2002 ACS

ACCESSION NUMBER: 112:198892 MARPAT
TITLE: Preparation of 11.beta.-aryl-19-norsteroids as antiglucocorticoids, progestogens, and antiprogestogens
INVENTOR(S): Cook, C. Edgar; Wani, Mansukh C.; Lee, Yue Wei; Reel, Jerry R.; Rector, Douglas
PATENT ASSIGNEE(S): Research Triangle Institute, USA
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

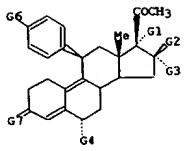
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8912448	A1	19891228	WO 1989-US2706	19890623
W: AU, DK, JP, KR, NO				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4954490	A	19900904	US 1988-210503	19880623
CA 1338906	A1	19970211	CA 1989-603686	19890622
AU 8938506	A1	19900112	AU 1989-38506	19890623
AU 635211	B2	19930318		
EP 422100	A1	19910417	EP 1989-907924	19890623
EP 422100	B1	19970312		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 03505582	T2	19911205	JP 1989-507392	19890623
JP 2953725	B2	19990927		
AT 149839	E	19970315	AT 1989-907924	19890623
US 5073548	A	19911217	US 1990-504129	19900403
NO 9005546	A	19901221	NO 1990-5546	19901221
NO 178264	B	19951113		
NO 178264	C	19960221		
DK 9003053	A	19901221	DK 1990-3053	19901221

PRIORITY APPLN. INFO.: US 1988-210503 19880623
WO 1989-US2706 19890623

AB The title compds. [I; R1 = H, alkyl, alkenyl, etc.; R2 = H, R3 = H, alkyl, alkenyl, alkynyl; R4 = H, Me, F, Cl; R6 = H, Me2N, MeO, MeCO, MeS, etc.; X = O, MeON; or R1R2 = bond; or R1R3 = CH2, N:NCH2; or R2R3 = CH2] were prepd. Grignard reaction of 5.alpha.,6.alpha.-epoxy-6.alpha.-methyl-3,3:20,20-bis(ethylenedioxy)-19-norpregn-9(11)-en-17.alpha.-ol (prepn. given) with p-Me2NC6H4MgBr followed by 17-O-acetylation and deketalization gave I [R1 = AcO, R2 = R3 = H, R4 = Me, R6 = Me2N, X = O]. The binding affinity of I for progesterone receptor in cytosol obtained from estrogen-primed immature rabbit uterus was 8-80% that of progesterone. Several I had glucocorticoid receptor binding affinities up to 2.5-fold that of dexamethasone, and one compd. had in vivo antiprogestational activity comparable to that of RU-486.

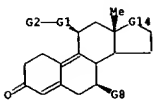
MSTR 1A

L11 ANSWER 21 OF 24 MARPAT COPYRIGHT 2002 ACS (Continued)



G1 = alkyl<(1-4)>
G7 = O
MPL: claim 1

L11 ANSWER 22 OF 24 MARPAT COPYRIGHT 2002 ACS (Continued)



G1 = phenylene
G5 = Ak<(1-8)> (SR (1-) G7)
G6 = 35

G12 = Ak (SO (1-) G10)
G14 = 42

MPL: claim 1

L11 ANSWER 22 OF 24 MARPAT COPYRIGHT 2002 ACS

ACCESSION NUMBER: 111:233356 MARPAT
TITLE: New 11-aryl steroids useful as antiprogestins, their preparation, and pharmaceuticals containing them
INVENTOR(S): De Jongh, Hendrik Paul; Van Vliet, Nicolaas Pieter
PATENT ASSIGNEE(S): AKZO N. V., Neth.
SOURCE: Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 321010	A1	19890621	EP 1988-202678	19881125
EP 321010	B1	19930203		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
AT 85342	E	19930215	AT 1988-202678	19881125
ES 2053714	T3	19940801	ES 1988-202678	19881125
ZA 8808996	A	19890830	ZA 1988-8996	19881130
AU 8826469	A1	19890615	AU 1988-26469	19881201
AU 613433	B2	19910801		
US 4921845	A	19900501	US 1988-281582	19881208
CA 1301162	A1	19920519	CA 1988-585297	19881208
DK 8806880	A	19890613	DK 1988-6880	19881209
DK 168444	B1	19940328		
FI 8805717	A	19890613	FI 1988-5717	19881209
FI 89056	B	19930430		
FI 89056	C	19930810		
KR 9709592	B1	19970614	KR 1988-16480	19881210
CN 1034731	A	19890816	CN 1988-108484	19881212
CN 1019807	B	19921230		
JP 01211597	A2	19890824	JP 1988-313643	19881212
			NL 1987-3008	19871212
PRIORITY APPLN. INFO.:			EP 1988-202678	19881125

AB Aryl steroids I [R1 = aryl substituted by -NXY; X, Y = H, C1-4 hydrocarbyl; or XY = C2-6 hydrocarbyl forming 3- to 7-membered ring; R2 = H, OH, acyloxy, alkoxy, (un)satd. C1-8 hydrocarbyl with .gtoreq.1 OH, oxo, N3, cyano, and/or halo group; R3 = OH, acyloxy, alkoxy, or acyl optionally substituted by OH, alkoxy, acyloxy, or halo; or R2R3 forms ring; R2 .noteq. H or OH when R3 = OH; R4 = Me, Et], which are strong antiprogestins with little or no antiglucocorticoid activity (no data), are prepd. Thus, 7.beta.-methylestr-5-(10)-ene-3,17-dione 3,3-di-Me acetal underwent NaBH4 redn., deketalization, bromination/dehydrobromination, reketalization, and epoxidn., to give 5.alpha., 10.alpha.-epoxy-17.beta.-hydroxy-7.beta.-methylester-9(11)-en-3-one 3,3-ethylene acetal. This underwent CuCl-catalyzed coupling with p-(Me2N)C6H4MgBr, Oppenauer oxidn. of 17-OH, alkynylation with THP-OCH2C.tplbond.CMgBr (THP = tetrahydropyranyl), and deprotection, to give (dimethylaminophenyl)hydroxy(hydroxypropynyl)methylestradienone II.

MSTR 1

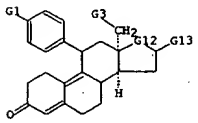
L11 ANSWER 23 OF 24 MARPAT COPYRIGHT 2002 ACS

ACCESSION NUMBER: 110:213172 MARPAT
TITLE: 13(Alpha)-alkylgonanes, their production, and pharmaceutical preparations containing same
INVENTOR(S): Neef, Guenter; Wiechert, Rudolf; Beier, Sybille; Elger, Walter; Henderson, David
PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.
SOURCE: U.S., 5 pp. Cont. of U.S. Ser. No. 621,308.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4780461	A	19881025	US 1985-810148	19851218
DE 3321826	A1	19841220	DE 1983-3321826	19830615
DE 3413036	A1	19851017	DE 1984-3413036	19840404
DE 3446661	A1	19860619	DE 1984-3446661	19841218
PRIORITY APPLN. INFO.:			DE 1983-3321826	19830615
			DE 1984-3413036	19840404
			US 1984-621308	19840615
			DE 1984-3446661	19841218

OTHER SOURCE(S): CASREACT 110:213172
AB 13.alpha.-Alkylgonanes [I; R = C1-4 acyl; X = O, NOH; II; R1 = amino; R2 = H, Me, Et; R3 = (substituted) alkyl; R4 = OH, alkoxy, alkanoyloxy; or R3R4 = O; R5 = H, alkyl; III; Z = CH2CH2, CH2CMe2CH2], having antigestagenic activity and useful as postcoital contraceptives, or for triggering abortion and menstruation (no data), are prepd. via photochem. epimerization of the 13.beta.-gonanes IV. 11.beta.-(4-Dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.-methyl-17.beta.-(3-hydroxypropyl)-4,9-gonadien-3-one (V) was acetylated with Ac2O in pyridine to give 11.beta.-(4-dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.-methyl-17.beta.-(3-acetoxypropyl)-4,9-gonadien-3-one. A tablet was formulated contg. V 10.0, lactose 140.0, corn starch 69.5, polyvinylpyrrolidone 25 2.5, Aerosil 2.0, and Mg stearate 0.5 mg.

MSTR 2



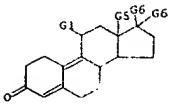
G4 = 59

G8 = OH
G12 = 66

L11 ANSWER 24 OF 24 MARPAT COPYRIGHT 2002 ACS (Continued)

GGA = 33 <RC (1), RS (1) M5 (1) X6, EC (0-) O (1-) N (0-) S (0) OTHERQ, AN (1) N, BD (ALL) SE>
DER: and acid addition salts
MPL: claim 10

L11 ANSWER 24 OF 24 MARPAT COPYRIGHT 2002 ACS (Continued)
MSTR 1B



G1 = biphenylyl (SR)
G5 = Me
G6 = Ak<(1-8)> (SO (1-) G7) / 37

GGA = 27 31 <(1-10)>
GGA = 37 <(1-8)>
MPL: claim 1

L11 ANSWER 24 OF 24 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 109:170799 MARPAT
TITLE: Antiprogestinic 11.beta.-aryl-14.beta.-estra-4,9-dien-3-one derivatives, a process for their preparation, and pharmaceuticals containing them
INVENTOR(S): Loozen, Hubert Jan Jozef
PATENT ASSIGNEE(S): AKZO N. V., Neth.
SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 277676	A1	19880810	EP 1988-200071	19880118
EP 277676	B1	19920304		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
CA 1339570	A1	19971209	CA 1988-556625	19880115
ZA 8800317	A	19880928	ZA 1988-317	19880118
AT 73137	E	19920315	AT 1988-200071	19880118
ES 2031991	T3	19930101	ES 1988-200071	19880118
FI 8800257	A	19880724	FI 1988-257	19880121
FI 89054	B	19930430		
FI 89054	C	19930810		
AU 8810669	A1	19880728	AU 1988-10669	19880121
AU 603637	B2	19901122		
DK 8800304	A	19880724	DK 1988-304	19880122
DK 163307	B	19920217		
DK 163307	C	19920706		
CN 88100979	A	19880817	CN 1988-100979	19880122
CN 1030081	B	19951018		
JP 63216895	A2	19880909	JP 1988-12431	19880122
US 5272140	A	19931221	US 1990-488391	19900227

PRIORITY APPLN. INFO.: NL 1987-157 19870123
EP 1988-200071 19880118
US 1988-146895 19880122

AB Title steroids I [R1 = monosubstituted homo- or heterocyclic aryl; R2 = C1-4 alkyl; R3, R4 = H, OH, C1-18 acyloxy, C2-8 alkoxyalkyl, C1-8 acyl, C1-12 alkoxy, (un)satd. (un)substituted C1-8 hydrocarbyl; R3R4 = C1-6 alkylidene, or atoms needed to form ring; .DELTA.16 optionally present, with R3 or R4 absent], having strong antiprogestinic activity, are prepd. Estrone 3-Me ether was brominated, dehydrobrominated, and hydrogenated to give the isomeric 14.beta.-estrone 3-Me ether. This underwent NaBH4 redn., Birch redn., hydrolysis, and bromination-dehydrobromination to give 17.alpha.-hydroxy-14.beta.-estra-4,9-dien-3-one. The latter was ketalized at the 3-position, oxidized to the 17-one, alkynylated at the 17-position by the tetrahydropyranyl ether of propargyl alc., epoxidized to the 5.alpha.,10.alpha.-epoxide, coupled with 4-(Me2N)C6H4MgBr in the presence of CuCl, hydrogenated in the side chain, hydrolyzed and dehydrated, and cyclized in the sidechain by tosylation in pyridine to give (dimethylaminophenyl)dihydrospiro(estradienefuran)one II. At 1 mg orally, twice daily in pregnant rats on days 6-10, II caused 100% pregnancy interception, but only slightly reversed dexamethasone-induced thymus wt. redn. in rats.

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L3                STRUCTURE UPLOADED
L4             20 S L3
L5            277 S L3 FULL

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L6             13 S L5
L7              8 S L6 NOT PY>=1996

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L9              9 S L8 NOT PY>=1996

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